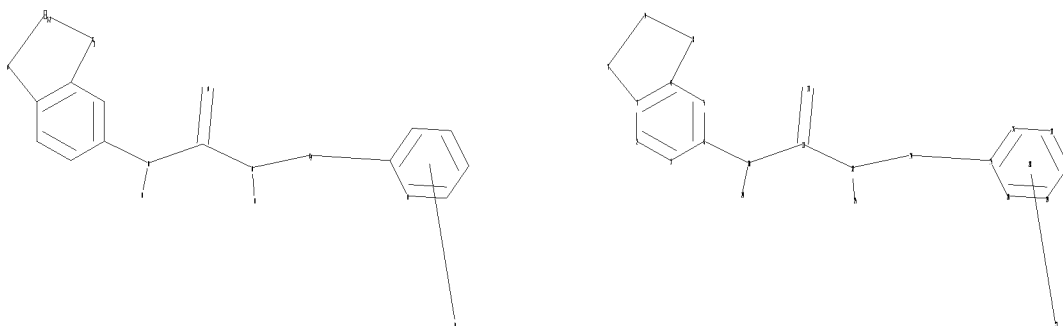


=&gt;

Uploading C:\Program Files\Stnexp\Queries\rkc446.str



chain nodes :

10 11 12 13 14 24 25 27

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20

chain bonds :

6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15

ring bonds :

1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 15 :

G1:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS  
 25:CLASS 27:CLASS 28:CLASS  
 Generic attributes :  
 14:  
 Saturation : Unsaturated  
 Number of Carbon Atoms : less than 7  
 Number of Hetero Atoms : less than 2

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 15:34:33 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS

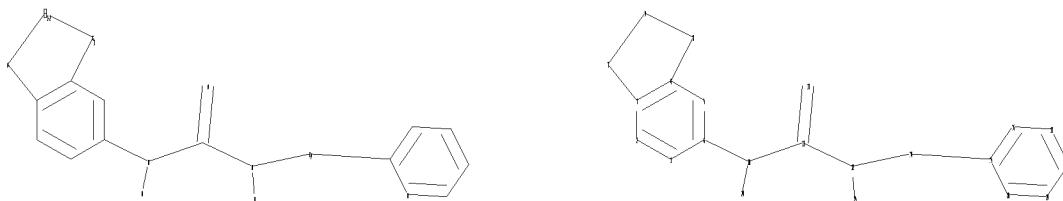
0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446b.str



```

chain nodes :
10 11 12 13 14 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS
Generic attributes :
14:

```

Saturation : Unsaturated  
Number of Carbon Atoms : less than 7  
Number of Hetero Atoms : less than 2

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l3 ful

FULL SEARCH INITIATED 15:36:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS

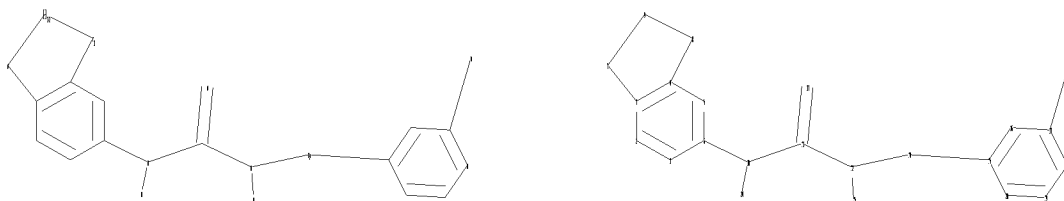
0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446c.str



```

chain nodes :
10 11 12 13 14 24 25 27
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15 17-27
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15 17-27

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS 27:CLASS
Generic attributes :

```

14:  
 Saturation : Unsaturated  
 Number of Carbon Atoms : less than 7  
 Number of Hetero Atoms : less than 2

L5 STRUCTURE UPLOADED

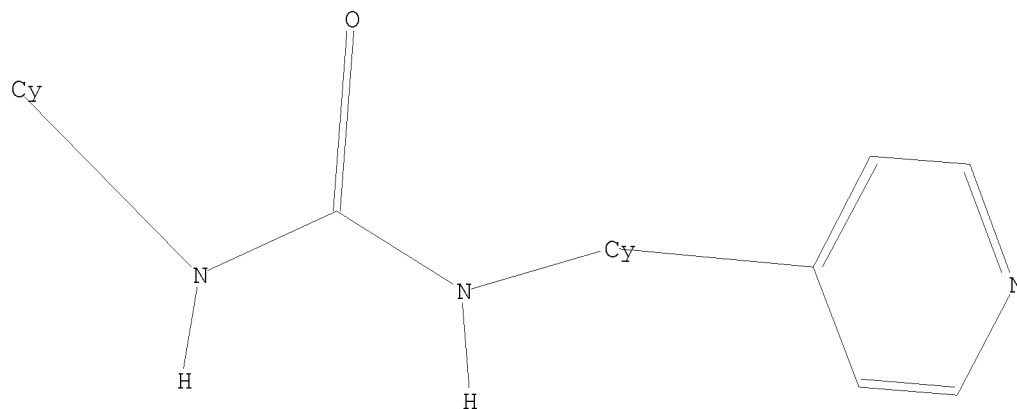
=> s l5 ful  
 FULL SEARCH INITIATED 15:43:19 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 1 ANSWERS  
 SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L5

=> d

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-44-8 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-  
 (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H19 N5 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



G1 C,O  
 G2 O,S,N,Me,Et,n-Pr,MeO,EtO,n-PrO

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus  
 COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	491.42	491.84

FILE 'CAPLUS' ENTERED AT 15:43:44 ON 18 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26  
 FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 1 L6

=> d fbib abs fhitr

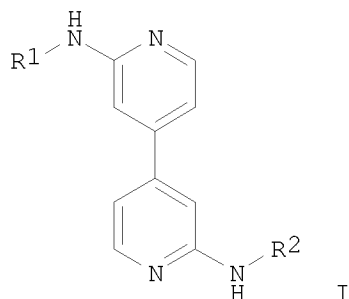
L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:515503 CAPLUS  
 DN 141:71452  
 TI Preparation of pyridine derivatives as JNK inhibitors  
 IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie  
 PA Astrazeneca Ab, Swed.  
 SO PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,			

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 SE 2002-3654 A 20021209

OS MARPAT 141:71452

GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

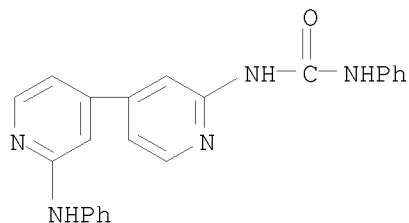
IT 712269-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-44-8 CAPLUS

CN Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-  
 (9CI) (CA INDEX NAME)



```
=> FIL STNGUIDE
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          6.29      498.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY      SESSION
CA SUBSCRIBER PRICE          -0.73      -0.73
```

FILE 'STNGUIDE' ENTERED AT 15:45:28 ON 18 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
 AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Jun 10, 2005 (20050610/UP).

```
=> fil reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          0.12      498.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY      SESSION
CA SUBSCRIBER PRICE          0.00      -0.73
```

FILE 'REGISTRY' ENTERED AT 15:46:32 ON 18 JUN 2005  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4  
 DICTIONARY FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

```
*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
*****
```

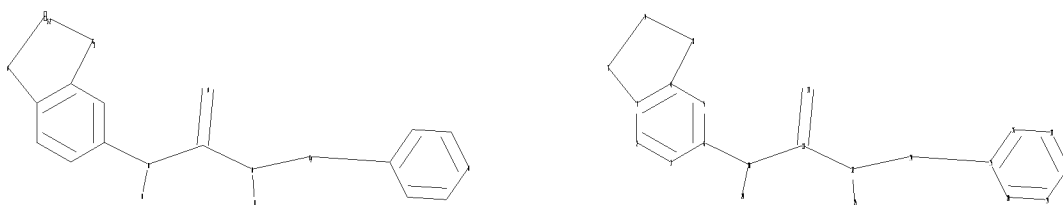
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446d.str



chain nodes :

10 11 12 13 14 24 25

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20

chain bonds :

6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15

ring bonds :

1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 15 :

G1:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS  
 25:CLASS

Generic attributes :

14:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : less than 2

L8 STRUCTURE UPLOADED

=> d

L8 HAS NO ANSWERS

L8 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l8 ful

FULL SEARCH INITIATED 15:48:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L9 1 SEA SSS FUL L8

=> d

L9 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN

RN 712269-44-8 REGISTRY

ED Entered STN: 19 Jul 2004

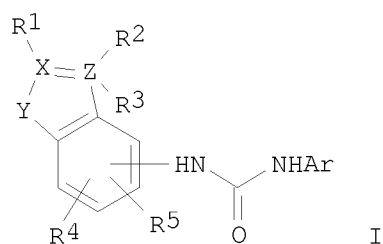
CN Urea, N-1,3-benzodioxol-5-yl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]-  
 (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C24 H19 N5 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

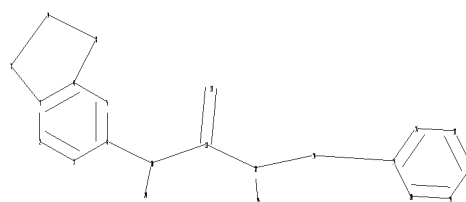
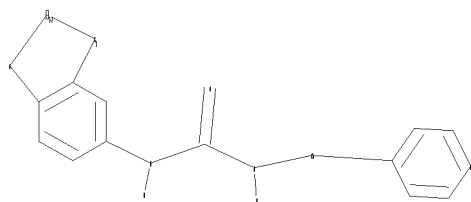


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446e.str



chain nodes :  
10 11 12 13 14 24 25  
ring nodes :  
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20  
chain bonds :

```

6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS

```

L10 STRUCTURE UPLOADED

```

=> s l10 ful
FULL SEARCH INITIATED 15:50:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

```

```

100.0% PROCESSED 791 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

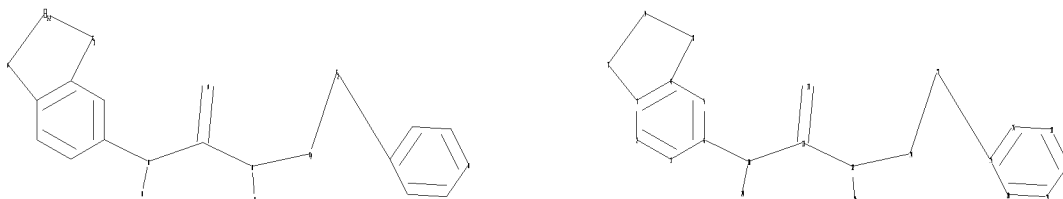
```

L11 0 SEA SSS FUL L10

```

=>
Uploading C:\Program Files\Stnexp\Queries\rkc446f.str

```



```

chain nodes :
10 11 12 13 14 24 25 27
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-27 15-27
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-20 15-16 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-27 15-27

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-20 15-16 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

G2:O,S,N,CH<sub>3</sub>,Et,n-Pr,MeO,EtO,n-PrO

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS

```

25:CLASS 27:CLASS  
 Generic attributes :  
 14:  
 Saturation : Unsaturated  
 Number of Hetero Atoms : less than 2

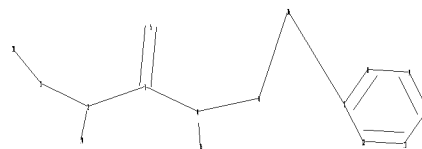
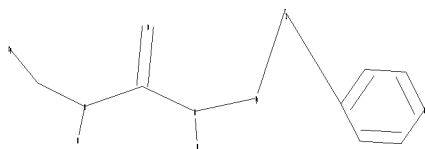
L12 STRUCTURE UPLOADED

=> s l12 ful  
 FULL SEARCH INITIATED 15:54:41 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 352 TO ITERATE

100.0% PROCESSED 352 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

L13 0 SEA SSS FUL L12

=>  
 Uploading C:\Program Files\Stnexp\Queries\rkc446g.str



chain nodes :  
 1 2 3 4 5 6 14 15 16 18  
 ring nodes :

```

7  8  9  10  11  12
chain bonds :
1-2  1-18  2-3  2-14  3-4  3-5  4-6  4-15  6-16  7-16
ring bonds :
7-12  7-8  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-18  2-3  3-4  3-5  4-6  6-16  7-16
exact bonds :
2-14  4-15
normalized bonds :
7-12  7-8  8-9  9-10  10-11  11-12

```

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 14:CLASS 15:CLASS 16:CLASS 18:Atom

Generic attributes :

6:

Saturation : Unsaturated

Number of Hetero Atoms : less than 2

L14 STRUCTURE UPLOADED

=> s l14 ful

FULL SEARCH INITIATED 16:02:57 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 53982 TO ITERATE

100.0% PROCESSED 53982 ITERATIONS

0 ANSWERS

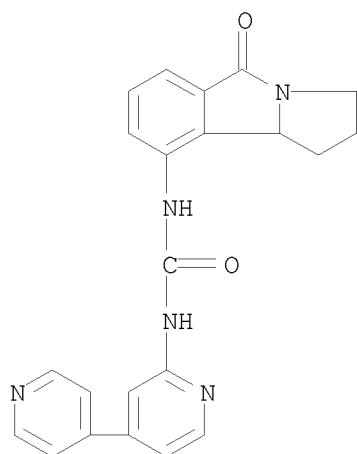
SEARCH TIME: 00.00.01

L15 0 SEA SSS FUL L14

=> d

L15 HAS NO ANSWERS

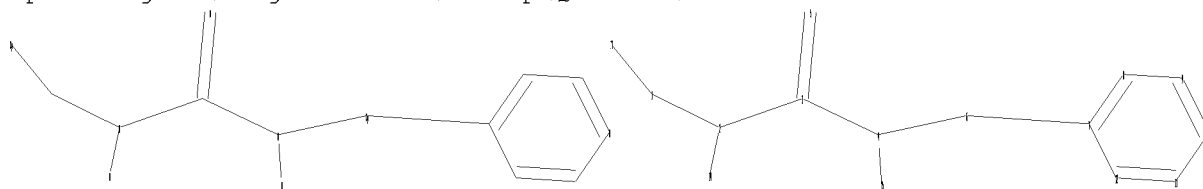
L14 STR



Structure attributes must be viewed using STN Express query preparation.  
 L15                    0 SEA FILE=REGISTRY SSS FUL L14

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446h.str



```
chain nodes :
1  2  3  4  5  6  14  15  17
ring nodes :
7  8  9  10  11  12
chain bonds :
1-2  1-17  2-3  2-14  3-4  3-5  4-6  4-15  6-7
ring bonds :
7-12  7-8  8-9  9-10  10-11  11-12
exact/norm bonds :
1-2  1-17  2-3  3-4  3-5  4-6  6-7
exact bonds :
2-14  4-15
normalized bonds :
7-12  7-8  8-9  9-10  10-11  11-12
```

G1:C,O

G2:O,S,N,CH<sub>3</sub>,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 14:CLASS 15:CLASS 17:Atom

Generic attributes :

6:

Saturation : Unsaturated

Number of Hetero Atoms : less than 2

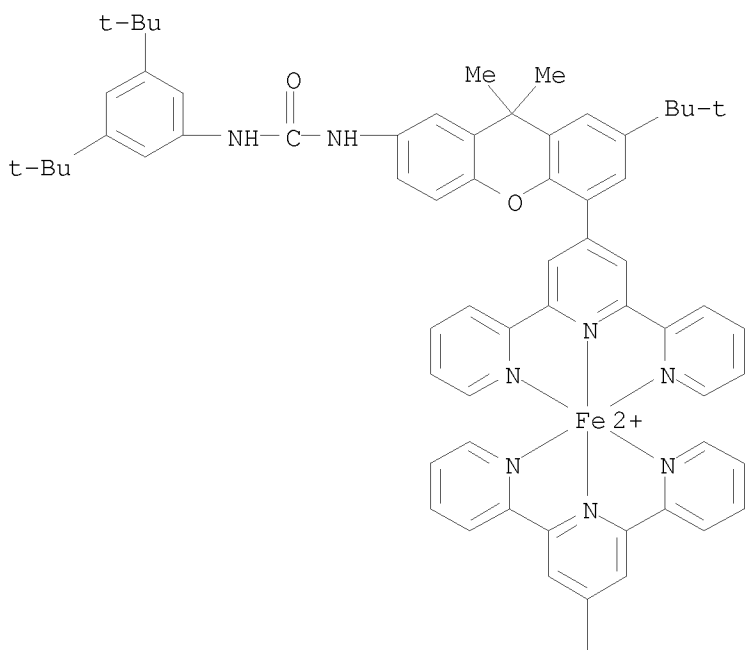
L16 STRUCTURE UPLOADED

=> d

L16 HAS NO ANSWERS

L16 STR

PAGE 1-A



Structure attributes must be viewed using STN Express query preparation.

=> s l16 ful

FULL SEARCH INITIATED 16:06:00 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 89569 TO ITERATE

100.0% PROCESSED 89569 ITERATIONS  
SEARCH TIME: 00.00.01

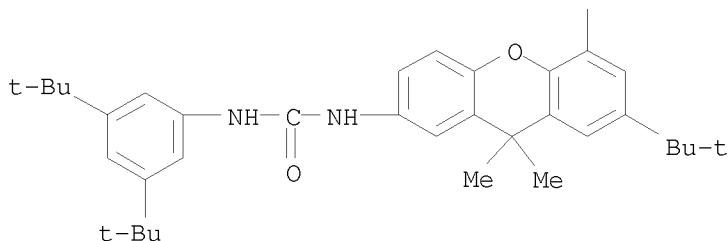
10 ANSWERS

L17 10 SEA SSS FUL L16

=> d 1-10

L17 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-82-4 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[(4-methylphenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
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MF C25 H23 N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

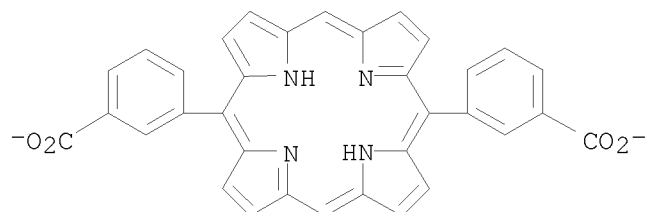
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

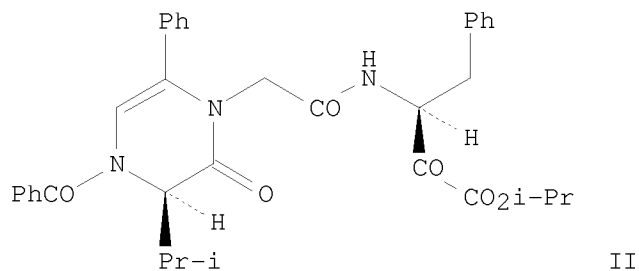
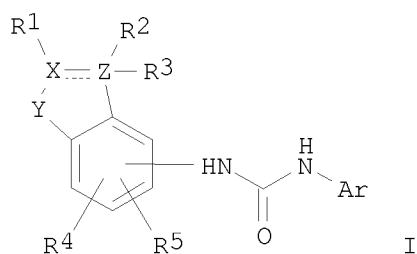
L17 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-53-9 REGISTRY  
ED Entered STN: 19 Jul 2004  
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FS 3D CONCORD  
MF C25 H23 N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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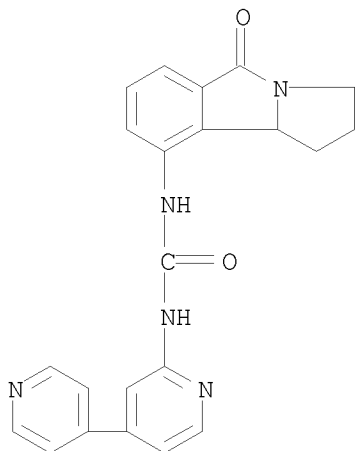
L17 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-48-2 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[(4-methoxyphenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H23 N5 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

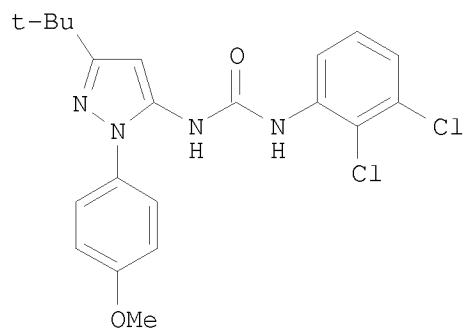
L17 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-35-7 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[(3,4-dichlorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C24 H19 Cl2 N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



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L17 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-32-4 REGISTRY  
ED Entered STN: 19 Jul 2004  
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FS 3D CONCORD  
MF C24 H20 Cl N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



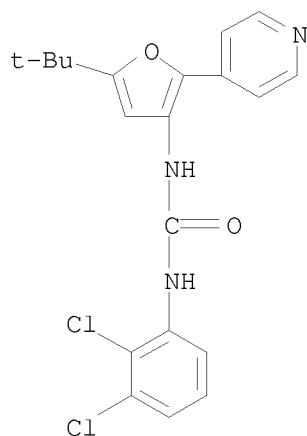
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## 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

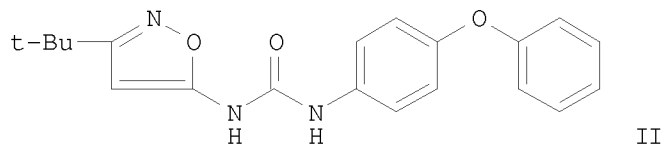
L17 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
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 ED Entered STN: 19 Jul 2004  
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 FS 3D CONCORD  
 MF C24 H20 F N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



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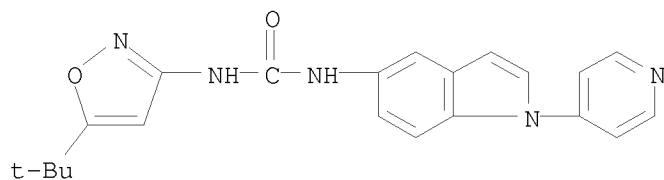
L17 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-27-7 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(2-fluorophenyl)methyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H20 F N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



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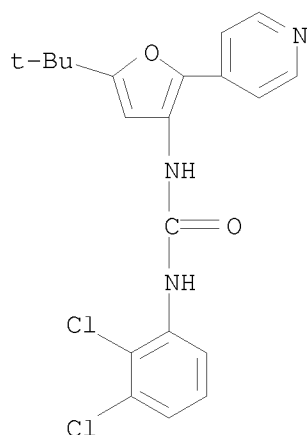
L17 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-08-4 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H22 Br N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

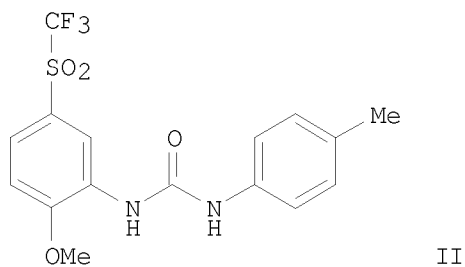
L17 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 125421-93-4 REGISTRY  
ED Entered STN: 16 Feb 1990  
CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2-chloro-6-fluoro- (9CI) (CA INDEX NAME)  
MF C26 H16 Cl2 F2 N6 O4  
SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 125421-89-8 REGISTRY  
ED Entered STN: 16 Feb 1990  
CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)  
MF C26 H16 Cl4 N6 O4  
SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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COST IN U.S. DOLLARS

SINCE FILE TOTAL

FULL ESTIMATED COST	ENTRY 838.93	SESSION 1337.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.73

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FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26  
 FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

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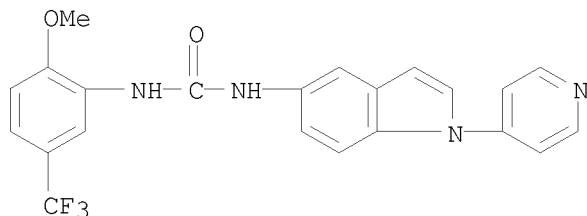
L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:515503 CAPLUS  
 DN 141:71452  
 TI Preparation of pyridine derivatives as JNK inhibitors  
 IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie  
 PA Astrazeneca Ab, Swed.  
 SO PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
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	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,				
	NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,				
	TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 SE 2002-3654 A 20021209

OS MARPAT 141:71452

GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712269-08-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-08-4 CAPLUS

CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)

L18 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:228745 CAPLUS

DN 114:228745

TI Preparation of new bis[3-(2,6-disubstituted benzoyl)-1-ureyl]bipyridines as insecticides

IN Sobotka, Wieslaw; Styczynska, Bogumila; Balicki, Roman; Kozłowska, Margarita; Krzeminska, Alicja; Kaczmarek, Lukasz; Ejmowski, Zdzislaw

PA Polska Akademia Nauk, Instytut Chemii Organicznej, Pol.

SO Pol., 5 pp.

CODEN: POXXA7

DT Patent

LA Polish

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	PL 149392	B1	19900228	PL 1987-266054	19870603
				PL 1987-266054	19870603
OS	CASREACT 114:228745; MARPAT 114:228745				
GI					

AB Title compds. I (R, R1 = H, C1-4 alkoxy, halo, CF3) are prepared by reaction of corresponding disubstituted benzoyl isocyanates with bipyridine diamines in an inert solvent at 20-120°. For example, 4,4'-bipyridine-3,3'-diamine reacted with 2 mol equiv 2,6-Cl<sub>2</sub>FC<sub>6</sub>H<sub>3</sub>CONCO in CH<sub>2</sub>Cl<sub>2</sub> at 40° to give 86.3% title compound II. Eight I showed varying degrees of effectiveness as chitin synthesis inhibitors when applied to larval *Musca domestica*.

IT 125421-89-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)

RN 125421-89-8 CAPLUS

CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

L18 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:606648 CAPLUS

DN 113:206648

TI Search for new chitin biosynthesis inhibitors and their effects on the housefly (*Musca domestica* L.)

AU Balicki, R.; Sobotka, W.; Styszynska, B.

CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01 224, Pol.

SO Tagungsbericht - Akademie der Landwirtschaftswissenschaften der Deutschen Demokratischen Republik (1989), 274(Insectic.-Mech. Action Resist.), 167-70

CODEN: TALDA3; ISSN: 0138-2659

DT Journal

LA English

GI

AB The inhibition of the development of housefly (*Musca domestica*) by 2,6-dichlorobenzoylaryl- or heteroaryl ureas and sym. substituted 2,2', 3,3' and 4,4'-bipyridyls with 2,6-dihalogenobenzoylurea moiety depended on their structure. CF<sub>3</sub> and F in para position of aromatic ring inhibited development; compound (I) was the most active against the larvae and adults. Also Br atom in pyridine system increased the activity. Significant inhibition of adults and pupae growth was observed with the 3,3'-bipyridyl

derivative (II).

IT 125421-93-4  
RL: BIOL (Biological study)  
(housefly development inhibition by, structure in relation to)

RN 125421-93-4 CAPLUS

CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2-chloro-6-fluoro- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:531953 CAPLUS

DN 113:131953

TI Insect chitin formation inhibitors. III. Synthesis and activity of some bis[3-(2,6-dihalobenzoyl)-1-ureido]bipyridines

AU Balicki, R.; Kaczmarek, L.; Sobotka, W.; Ejmocki, Z.

CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, PL-01-224, Pol.

SO Journal fuer Praktische Chemie (Leipzig) (1989), 331(6), 995-8  
CODEN: JPCEAO; ISSN: 0021-8383

DT Journal

LA English

OS CASREACT 113:131953

GI

AB Eight title compds. I (R, R1 = Cl, F) were prepared in 69-89% yields by a 4-step procedure starting from nitriles II. I have significant activity against house-flies (no data).

IT 125421-89-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 125421-89-8 CAPLUS

CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

L18 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:114123 CAPLUS

DN 112:114123

TI The effectiveness of benzoylphenylurea inhibitors of chitin biosynthesis against housefly (*M. domestica* L.) and cockroach (*Blattella germanica* L)

AU Styczynska, Bogumila; Krzeminska, Alicja; Sobotka, Wieslaw; Balicki, Roman

CS Zakl. Zwalczenia Skazen Biol., Panstw. Zakl. Hig., Pol.

SO Roczniki Panstwowego Zakladu Higieny (1989), 40(1), 73-85  
CODEN: RPZHAW; ISSN: 0035-7715

DT Journal

LA Polish

GI

AB Of 20 benzoylphenylureas, comprising 12 I (R = substituted Ph or pyridinyl) and 8 II (R = Cl or F), dietary administration of I (R = C<sub>6</sub>H<sub>4</sub>Cl-4) (III), I (R = 5-bromo-2-pyridinyl) (IV), and II (R = F) most effectively inhibited the development of housefly larvae. III also was highly effective against female imagoes, inhibiting the development of their offspring. I (R = C<sub>6</sub>H<sub>4</sub>F-4) (V), III, and IV were the most effective against cockroach larvae and imagoes. None of the 800 larvae treated with 0.001% V metamorphosed into imagoes. Treated adult females formed cocoons but no larvae hatched from them.

IT 125421-89-8  
 RL: BIOL (Biological study)  
 (chitin formation inhibitor, housefly and cockroach development response to)

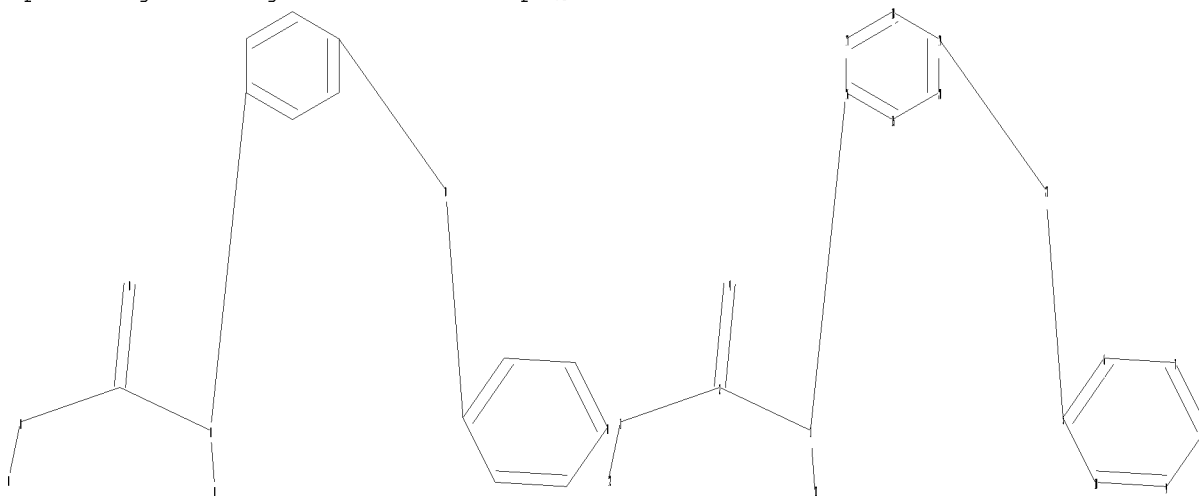
RN 125421-89-8 CAPLUS

CN Benzamide, N,N'-[[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

=> FIL STNGU

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1 2 3 4 12 13 21

ring nodes :

5 6 7 8 9 10 15 16 17 18 19 20

chain bonds :

1-12 1-2 2-3 2-4 3-13 3-16 5-21 19-21

ring bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

1-2 2-3 2-4 3-16 5-21 19-21

exact bonds :

1-12 3-13

normalized bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

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 FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS 1816 ANSWERS  
 SEARCH TIME: 00.00.01

L2 1816 SEA SSS FUL L1

=> fil caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	161.33	161.54

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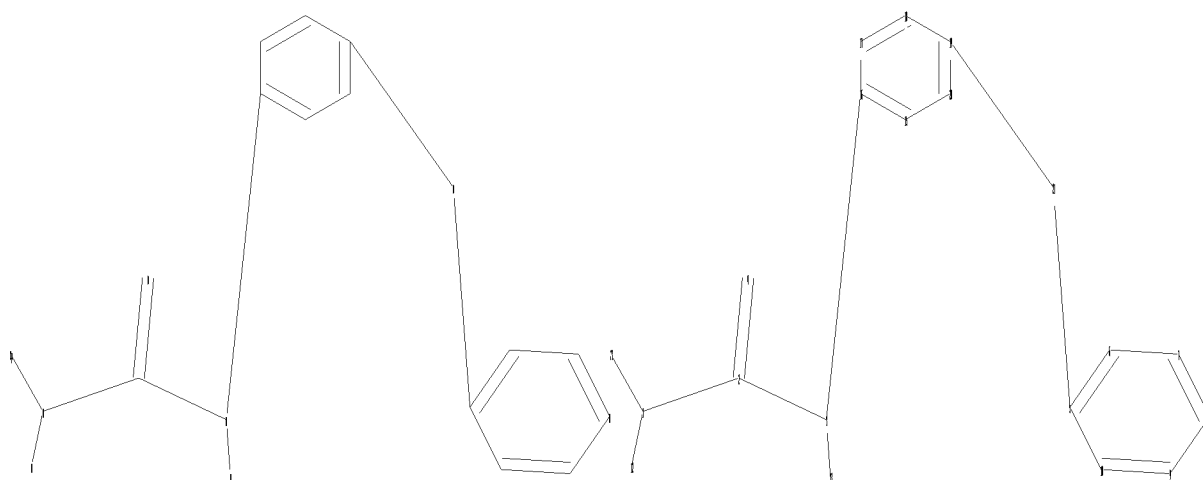
FILE COVERS 1907 - 20 Jun 2005 VOL 142 ISS 26  
 FILE LAST UPDATED: 19 Jun 2005 (20050619/ED)

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=> s l2  
 L3 91 L2

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ring nodes :
5  6  7  8  9 10 15 16 17 18 19 20
chain bonds :
1-12 1-2 1-23 2-3 2-4 3-13 3-16 5-21 19-21
ring bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 1-23 2-3 2-4 3-16 5-21 19-21
exact bonds :
1-12 3-13
normalized bonds :
5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 15 :

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G1:C,O

G2:O,S,N,CH<sub>3</sub>,Et,n-Pr,MeO,EtO,n-PrO

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Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS
23:Atom
Generic attributes :

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23:  
 Number of Carbon Atoms : 7 or more  
 Type of Ring System : Polycyclic

L4 STRUCTURE UPLOADED

=> d  
 L4 HAS NO ANSWERS  
 L4 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*  
 Structure attributes must be viewed using STN Express query preparation.

=> s l4 ful  
 REGISTRY INITIATED  
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 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 17:24:28 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS 214 ANSWERS  
 SEARCH TIME: 00.00.01

L5 214 SEA SSS FUL L4

L6 18 L5

=> d

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:395257 CAPLUS  
 DN 142:447018  
 TI Preparation of tetrahydronaphthalene and urea derivatives as VR1  
 antagonists for the prophylaxis and treatment of diseases associated with  
 VR1 activity, such as urological diseases, pain and inflammatory diseases  
 IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier,  
 Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura,  
 Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima,  
 Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus;  
 Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang  
 PA Bayer Healthcare Ag, Germany  
 SO PCT Int. Appl., 149 pp.  
 CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 2003-23287	A	20031015		
	EP 2003-23288	A	20031015		
	EP 2003-25572	A	20031108		
	EP 2003-25573	A	20031108		

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 1-18 fbib abs fhitr

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:395257 CAPLUS  
DN 142:447018  
TI Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases  
IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang  
PA Bayer Healthcare Ag, Germany  
SO PCT Int. Appl., 149 pp.  
CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 2003-23287           A   20031015  
EP 2003-23288           A   20031015  
EP 2003-25572           A   20031108  
EP 2003-25573           A   20031108

GI

AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8-dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E =cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH<sub>2</sub>)<sub>n</sub>-R<sub>4</sub>, etc.; n = 0-6; R<sub>4</sub> = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical preps. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca<sup>2+</sup> influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC<sub>50</sub> in the range of 0.1 to 0.6  $\mu$ M in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

IT 851266-51-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)

RN 851266-51-8 CAPLUS

CN Urea, N-[4-(4-pyridinyloxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RE.CNT 12       THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:14200 CAPLUS

DN 142:86701  
 TI Diaryl ureas for treatment of diseases mediated by PDGFR  
 IN Wilhelm, Scott; Dumas, Jacques; Ladouceur, Gaetan; Lynch, Mark; Scott, William J.  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000284	A2	20050106	WO 2004-US15653	20040519
	WO 2005000284	A3	20050310		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-471735P	P 20030520
				US 2003-520399P	P 20031117
				US 2004-556062P	P 20040325
	US 2005059703	A1	20050317	US 2004-848567	20040519
				US 2003-471735P	P 20030520
				US 2003-520399P	P 20031117
				US 2004-556062P	P 20040325

## PATENT FAMILY INFORMATION:

FAN 2004:1154653

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113274	A2	20041229	WO 2004-US15655	20040519
	WO 2004113274	A3	20050303		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-471735P	P 20030520
				US 2003-520399P	P 20031117
				US 2004-556062P	P 20040325
	US 2005059703	A1	20050317	US 2004-848567	20040519
				US 2003-471735P	P 20030520
				US 2003-520399P	P 20031117
				US 2004-556062P	P 20040325

OS MARPAT 142:86701  
 AB The present invention provides methods for treating and/or preventing conditions and diseases in humans and other mammals that are associated with and/or mediated by signal transduction pathways comprising platelet-derived growth factor receptor (PDGFR), especially PDGFR- $\beta$ , by administering diaryl ureas. The present invention also provides devices and methods for treating, ameliorating, preventing, or modulating restenosis following angioplastic surgery or other invasive procedures that affect or injure the vascular system, and graft rejection following transplantation of a donor tissue into a host, where a stent or other implantable device comprises an effective amount of diaryl ureas. For example, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl] urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]-2-fluorophenyl] urea, and N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]-2-chlorophenyl]urea showed an IC50 of less than 10  $\mu$ M in a pPDGFR- $\beta$  sandwich ELISA in AoSMC cells.

IT 755037-04-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (diaryl ureas for prevention and/or treatment of diseases mediated by platelet-derived growth factor receptor)

RN 755037-04-8 CAPLUS  
 CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:756711 CAPLUS  
 DN 141:277641  
 TI Preparation of bicyclic (hetero)aryl- and pyridine-containing diaryl ureas as Raf kinase and angiogenesis inhibitors useful in the treatment of cancer and other disorders

IN Dumas, Jacques; Boyer, Stephen; Verma, Sharad; Adnane, Lila; Chen, Yuanwei; Lee, Wendy; Phillips, Barton; Smith, Roger A.; Scott, William J.; Burke, Jennifer; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Miranda, Karl; Raudenbush, Brian; Redman, Aniko; Shao, Jianxing; Su, Ning; Wang, Gan; Yi, Lin; Zhu, Qingming

PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 162 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078748	A2	20040916	WO 2004-US6287	20040301
	WO 2004078748	A3	20041111		
	W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,			

MZ, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450348P P 20030228

PATENT FAMILY INFORMATION:

FAN 2004:754414

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
	W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2003-450324P P 20030228

FAN 2004:756709

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
	W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2003-450323P P 20030228

FAN 2004:756710

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2003-450323P	P	20030228
			US 2003-450324P	P	20030228
			US 2003-450348P	P	20030228
US 2004235829	A1	20041125	US 2004-788029		20040227
			US 2003-450323P	P	20030228
			US 2003-450324P	P	20030228
			US 2003-450348P	P	20030228
US 2004229937	A1	20041118	US 2004-789446		20040301
			US 2003-450323P	P	20030228
			US 2003-450324P	P	20030228
			US 2003-450348P	P	20030228
US 2005032798	A1	20050210	US 2004-788405		20040301
			US 2003-450323P	P	20030228
			US 2003-450324P	P	20030228
			US 2003-450348P	P	20030228
US 2005038031	A1	20050217	US 2004-788426		20040301
			US 2003-450323P	P	20030228
			US 2003-450324P	P	20030228

OS MARPAT 141:277641  
GI

AB Title compds. I [wherein A = benzimidazolyl, 2,3-dihydro-1H-indolyl, 2,3-dihydro-1H-indenyl, 1H- or 2H-indazolyl, 1,3-benzodioxin-6-yl, quinoxaliny, etc.; B = (un)substituted Ph, naphthyl, pyridinyl, quinolinyl; L = (CH<sub>2</sub>)<sub>m</sub>-D-(CH<sub>2</sub>)<sub>n</sub>; m, n = independently 0-4; D = O, C(:O), NH and derivs., NHCO and derivs., S, CONH and derivs.; M = (un)substituted pyridine ring; Q = C(:O)H and derivs., CO<sub>2</sub>H and derivs., CONH<sub>2</sub> and derivs.; and their pharmaceutically acceptable salts, prodrugs, and metabolites] were prepared as Raf kinase inhibitors for treating hyper-proliferative and angiogenesis disorders, alone or in combination with cytotoxic therapies. For example, urea II was prepared from 4-(4-Amino-3-fluorophenoxy)-N-methylpyridine-2-carboxamide (preparation given), triphosgene, 2-aminoquinoxaline, in the presence of DIPEA/anhydrous DMF at 75°. Selected I showed 80% inhibition of c-Raf kinase at 1 µM. Thus, I are useful for treating cancer and other Raf kinase-mediated diseases.

IT 757249-67-5P, 4-[3-Fluoro-4-[[[(1-methyl-1H-indazol-5-yl)amino]carbonyl]amino]phenoxy]-N-methylpyridine-2-carboxamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of (hetero)aryl- and pyridine-containing diaryl ureas for treating cancer and other disorders)

RN 757249-67-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-fluoro-4-[[[(1-methyl-1H-indazol-5-yl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:756710 CAPLUS  
 DN 141:277628  
 TI Preparation of ureidophenoxycyanopyridines as anticancer drugs.  
 IN Scott, William J.; Dumas, Jacques; Boyer, Stephen; Lee, Wendy; Chen, Yuanwei; Phillips, Barton; Verma, Sharad; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Raudenbush, Brian; Redman, Aniko; Yi, Lin; Zhu, Qingming  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2004235829	A1	20041125	US 2004-788029	20040227
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2004229937	A1	20041118	US 2004-789446	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2005032798	A1	20050210	US 2004-788405	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2005038031	A1	20050217	US 2004-788426	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228

PATENT FAMILY INFORMATION:

FAN 2004:754414

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301

WO 2004078128                      A3                      20041223

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
 BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,  
 LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450324P                      P                      20030228

FAN 2004:756709

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078746	A2	20040916	WO 2004-US6283	20040301
WO 2004078746	A3	20041202		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
 BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,  
 LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450323P                      P                      20030228

FAN 2004:756711

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078748	A2	20040916	WO 2004-US6287	20040301
WO 2004078748	A3	20041111		

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 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,  
 LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450348P                      P                      20030228

OS MARPAT 141:277628

GI

AB Title compds. [I; A = (substituted) pyridinyl, naphthyl, 8-10 membered bicyclic heteroaryl, heterocyclyl, carbocyclyl; B = (substituted) phenylene, naphthylenediyl; L = O, S; m = 0-3; R2 = alkyl, haloalkyl, alkoxy, N-oxo, N-hydroxyl], were prepared Thus, 2-trifluoromethyl-4-pyridylamine was stirred 20 h with carbonyldiimidazole in CH<sub>2</sub>Cl<sub>2</sub>; 4-(4-amino-3-fluorophenoxy)pyridine-2-carbonitrile (preparation given) was added followed by stirring for 1 day to give 75% title compound (II). I inhibited c-RAF-1 kinase with IC<sub>50</sub> = 7.86 nM to >1600 nM.

IT 755037-04-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (claimed compound; preparation of ureidophenoxycyanopyridines as anticancer drugs)

RN 755037-04-8 CAPLUS

CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:756709 CAPLUS

DN 141:260780

TI Preparation of 2-oxo-1,3,5-perhydrotriazapine derivatives for treatment of hyper-proliferative, angiogenesis, and inflammatory disorders

IN Boyer, Stephen; Dumas, Jacques; Phillips, Barton; Scott, William J.; Smith, Roger A.; Chen, Jianqing; James, Benjamin; Wang, Gan

PA Bayer Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-450323P	P 20030228

PATENT FAMILY INFORMATION:

FAN 2004:754414

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
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				US 2003-450324P	P 20030228
FAN	2004:756710				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
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				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2004235829	A1	20041125	US 2004-788029	20040227
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2004229937	A1	20041118	US 2004-789446	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2005032798	A1	20050210	US 2004-788405	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
	US 2005038031	A1	20050217	US 2004-788426	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
FAN	2004:756711				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078748	A2	20040916	WO 2004-US6287	20040301
	WO 2004078748	A3	20041111		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450348P P 20030228

OS MARPAT 141:260780

GI

AB The title compds. I [A, B = 5-10 membered cyclic moieties which optionally substituted with 1-4 substituents selected from the group consisting of R1, OR1, NR1R2, etc.; L = a bridging group selected from -(CH2)m-O-(CH2)n-, -(CH2)m-(CH2)n-, -(CH2)m-C(O)-(CH2)n-, etc.; m, n = 0-4; M = Ph, naphthyl, 5- or 6- membered monocyclic heteroaryl consisting 1-3 heteroatoms selected from O, N, S, etc.; R1, R2 = H, alkyl, Ph, etc.] were prepared for treating hyper-proliferative and angiogenesis disorders. For example, reaction of 4-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl-2-Pyridinecarboxamide with methylamine hydrochloride and formaldehyde furnished compound II. As prodrugs, compds. I will release diaryl ureas of the formula III when administrated.

IT 755037-04-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diaryl 2-oxo-1,3,5-perhydrotriazapine derivs. for treatment of hyper-proliferative, angiogenesis, and inflammatory disorders)

RN 755037-04-8 CAPLUS

CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:754414 CAPLUS

DN 141:277492

TI Preparation of pyridine-containing diaryl ureas useful in the treatment of cancer and other disorders

IN Dumas, Jacques; Lee, Wendy; Chen, Yuanwei; Adnane, Lila; Scott, William J.; Verma, Sharad; Chen, Jianguing; Chen, Zhi; Yi, Lin

PA Bayer Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-450324P	P 20030228

## PATENT FAMILY INFORMATION:

FAN 2004:756709

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
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				US 2003-450323P	P 20030228

FAN 2004:756710

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228

US 2004235829	A1	20041125	US 2004-788029	20040227
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2004229937	A1	20041118	US 2004-789446	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2005032798	A1	20050210	US 2004-788405	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2005038031	A1	20050217	US 2004-788426	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228

FAN 2004:756711

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2004078748	A2	20040916	WO 2004-US6287	20040301
WO 2004078748	A3	20041111		
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
			US 2003-450348P	P 20030228

OS MARPAT 141:277492

GI

AB The title novel pyridine-containing diaryl ureas ANHC(O)NHBLMQ [A = (un)substituted Ph, naphthyl, heteroaryl, etc.; B = (un)substituted Ph, naphthyl, pyridyl; L = (CH<sub>2</sub>)<sub>m</sub>O(CH<sub>2</sub>)<sub>l</sub>, (CH<sub>2</sub>)<sub>m</sub>(CH<sub>2</sub>)<sub>l</sub>, (CH<sub>2</sub>)<sub>m</sub>C(O)(CH<sub>2</sub>)<sub>l</sub>, etc.; m, l = 0-4; M = (un)substituted pyridine; Q = tetrazolyl, imidazolyl, thiazolyl, etc.], useful for treating hyper-proliferative and angiogenesis disorders, as a sole agent or in combination with cytotoxic therapies, were prepared and formulated. E.g., a multi-step synthesis of I, was given.

IT 758709-45-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridine-containing diaryl ureas for treating cancer and other disorders)

RN 758709-45-4 CAPLUS  
 CN 2-Pyridinecarbothioamide, 4-[4-[[ (6-quinolinylamino)carbonyl]amino]phenoxy  
 ]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:950982 CAPLUS  
 DN 140:16736  
 TI Preparation of diarylurea derivatives useful for the treatment of protein  
 kinase dependent diseases  
 IN Floersheimer, Andreas; Furet, Pascal; Manley, Paul William; Bold, Guido;  
 Boss, Eugen; Guagnano, Vito; Vaupel, Andrea  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 170 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099771	A2	20031204	WO 2003-EP5634	20030528
	WO 2003099771	A3	20040401		
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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU,				
	LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC,				
	SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW:				
	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,				
	DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,				
	SI, SK, TR				
				GB 2002-12413	A 20020529
				GB 2003-5684	A 20030312
				GB 2003-9219	A 20030423
CA	2484288	AA	20031204	CA 2003-2484288	20030528
				GB 2002-12413	A 20020529
				GB 2003-5684	A 20030312
				GB 2003-9219	A 20030423
				WO 2003-EP5634	W 20030528
BR	2003011313	A	20050215	BR 2003-11313	20030528
				GB 2002-12413	A 20020529
				GB 2003-5684	A 20030312
				GB 2003-9219	A 20030423
				WO 2003-EP5634	W 20030528
EP	1511730	A2	20050309	EP 2003-755147	20030528
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				GB 2002-12413	A 20020529
				GB 2003-5684	A 20030312
				GB 2003-9219	A 20030423
				WO 2003-EP5634	W 20030528
OS	MARPAT 140:16736				
GI					

AB The invention relates to the use of diaryl urea derivs. [I; G is not present and Z = a radical of the formula Q; A = CH, N, N→O; A1 = N, N→O, with the proviso that not more than one of A and A1 can be N→O; n = 1, 2; m = 0-2; p = 0, 2, 3; q = 0-5; X = (un)substituted NH if p = 0; or if p is 2 or 3, X = nitrogen which together with (CH<sub>2</sub>)<sub>p</sub> and the bonds represented in dotted (interrupted) lines (including the atoms to which they are bound) forms a ring, or X = CHK (wherein K = H or lower alkyl) and p = 0, with the proviso that the bonds represented in dotted lines, if p = 0, are absent; Y1 = O, S, CH<sub>2</sub>; Y2 = O, S, NH; with the proviso that (Y1)<sub>n</sub>-(Y2)<sub>m</sub> does not include O-O, S-S, NH-O, NH-S or S-O groups; R1, R2, R3, R5 = independently H or an inorg. or organic moiety or any two of them together form a lower alkylenedioxy bridge bound via the oxygen atoms, and the remaining one of these moieties is hydrogen or an inorg. or organic moiety; R4 (if present, i.e., if q is not zero) is an inorg. or organic moiety] or tautomers thereof or pharmaceutically acceptable salts thereof in the treatment of protein kinase dependent diseases or for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, especially a proliferative disease depending on any one or more of

the

following (tyrosine) protein kinases such as ras, Abl, VEGF-receptor tyrosine kinase, Flt3, and/or Bcr-Abl activity. Also disclosed are the use of the compds. I for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, methods of use of the compds. I in the treatment of said diseases, pharmaceutical prepns. comprising the compds. I for the treatment of said diseases, processes for the manufacture of the compds. I, the use or methods of use of the compds. I as mentioned above, and/or the compds. I for use in the treatment of the animal or human body. For example, N-(4-(pyridin-4-yloxy)phenyl)-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea and N-[4-[6-(4-hydroxyphenylamino)pyrimidin-4-yl]phenyl]-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea at 10 μM inhibited gene c-Abl protein kinase by 98%, Kdr receptor tyrosine kinase by 100 and 96%, resp., and Flt3 receptor tyrosine kinase by 100%.

IT 630125-16-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylurea derivs. useful for the treatment of protein kinase dependent diseases and proliferative diseases)

RN 630125-16-5 CAPLUS

CN Urea, N-(2,3-dihydro-8-methoxy-1,4-benzodioxin-6-yl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:892752 CAPLUS

DN 139:381385

TI Preparation of quinoline derivatives as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor

IN Kubo, Kazuo; Ohno, Hiroaki; Isoe, Toshiyuki; Nishitoba, Tuyoshi

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 174 pp.  
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093238	A1	20031113	WO 2003-JP5593	20030501
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2002-130049	A 20020501
	EP 1535910	A1	20050601	EP 2003-721022	20030501
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				JP 2002-130049	A 20020501
				WO 2003-JP5593	W 20030501
OS	MARPAT 139:381385				
GI					

AB The title compds. I [wherein X = CH or N; Z = O or S; R1-R3 = independently H, halo, CN, alkyl, alkoxy, alkenyl, alkynyl, NO2, (un)substituted amino, hydroxy, CONH2, CO2H, or H2NCO2-, etc.; R4 = H; R5-R8 = independently H, halo, alkyl, alkoxy, alkylthio, CF3, NO2, or amino; R9 and R10 = independently H, alkyl, or alkylcarbonyl; R11 and R12 = independently H or alkyl, etc.; R13 = (hetero)cyclyl, etc.] and pharmaceutically acceptable salts or solvates thereof are prepared as inhibitors of the autophosphorylation of macrophage colony stimulating factor receptor. For example, 4-[(6,7-dimethoxy-4-quinolyl)oxy]aniline was treated with triphosgene in CHCl3 in the presence of Et3N, followed by the addition of 1-(4-fluorophenyl)ethylamine to give the urea compound II (8%). II showed IC50 of 0.0024  $\mu$ M against autophosphorylation of c-fms tyrosine kinase in cow.

IT 623142-65-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinoline derivs. as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor)

RN 623142-65-4 CAPLUS

CN Urea, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-N'-[4-[(6,7-dimethoxy-4-quinolinyloxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:874973 CAPLUS  
DN 139:364831  
TI Preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf  
kinase using  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;  
Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,  
Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
PA Bayer Corporation, USA  
SO U.S. Pat. Appl. Publ., 26 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 2003207914	A1	20031106	US 2002-125369	20020419
				US 2001-367376P	P 20010420

OS MARPAT 139:364831  
AB Urea derivs. of general formula A-NHCONH-B, A'-CONH-B', and A''-NHCONH-B''  
or pharmaceutically acceptable salts thereof [wherein A = each  
(un)substituted tert-butylpyridyl, (trifluoromethyl)pyridyl,  
isopropylpyridyl, 2-methyl-2-butylpyridyl, or 3-methyl-3-pentylpyridyl; A'  
= each (un)substituted isoquinolinyl or isoquinolinyl; A'' = substituted  
quinolinyl group; B, B' = independently, (un)substituted bridged cyclic  
structure of up to 30 carbon atoms of the formula -L-(ML1)q (wherein L  
comprises a cyclic moiety having at least 5 members and is bound directly  
to D; L1 comprises a cyclic moiety having at least 5 members; M is a  
bridging group having at least one atom, q is an integer of from 1-3, and  
each cyclic structure of L and L1 contains 0-4 members of the group  
consisting of nitrogen, oxygen and sulfur); B'' = (un)substituted up to  
tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with a cyclic  
structure bound directly to D containing at least 5 members with 0-4 members  
of the group consisting of nitrogen, oxygen and sulfur] are prepared These  
compsds. are useful in treating raf-mediated diseases, in particular  
cancerous cell growth mediated by a raf kinase. All compsds. exemplified,  
e.g. N-(4-tert-Butylpyridyl)-N'-(2,3-dichlorophenyl)urea, displayed IC50  
of between 10 nM and 10  $\mu$ M against ref kinase.

IT 432050-22-1P, N-(2-Methoxy-3-quinolinyl)-N'-[4-[2-(N-  
Methylcarbamyl)-4-pyridyloxy]phenyl]urea  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf  
kinase)

RN 432050-22-1 CAPLUS  
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin  
o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:850357 CAPLUS  
 DN 137:352907  
 TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf  
 kinase for the treatment of tumors and/or cancerous cell growth  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley  
 N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,  
 Timothy B.; Scott, William J.; Smith, Roger A.  
 PA Bayer Corporation, USA  
 SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.  
 CODEN: USXXCO  
 DT Patent  
 LA English

FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002165394	A1	20021107	US 2001-777920	20010207
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B2 19991022
			US 2001-758548	A2 20010112
ZA 2001005751	A	20030714	ZA 2001-5751	20010712
			US 1999-115877P	P 19990113
US 2002137774	A1	20020926	US 2001-907970	20010719
			US 1999-115877P	P 19990113
WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
			US 2001-777920	A 20010207
US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910

PATENT FAMILY INFORMATION:

FAN 2000:493376

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000041698	A1	20000720	WO 2000-US768	20000113
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,			

			DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
			US 1999-115878P	P	19990113
			US 1999-257265	A2	19990225
			US 1999-425229	A2	19991022
CA	2359244	AA	20000720	CA 2000-2359244	20000113
				US 1999-115878P	P 19990113
				US 1999-257265	A 19990225
				US 1999-425229	A 19991022
				WO 2000-US768	W 20000113
EP	1158985	A1	20011205	EP 2000-905597	20000113
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
				US 1999-115878P	P 19990113
				US 1999-257265	A 19990225
				US 1999-425229	A 19991022
				WO 2000-US768	W 20000113
US	2003139605	A1	20030724	US 2002-71248	20020211
				US 1999-115877P	P 19990113
				US 1999-115878P	P 19990113
				US 1999-257266	B2 19990225
				US 1999-425228	B1 19991022
				US 2001-948915	A1 20010910
US	2003105091	A1	20030605	US 2002-86417	20020304
				US 1999-115878P	P 19990113
				US 1999-257265	B2 19990225
				US 1999-425229	B1 19991022
FAN	2000:493516				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042012	A1	20000720	WO 2000-US648	20000112
	W:		AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				US 1999-115877P	P 19990113
				US 1999-257266	A2 19990225
				US 1999-425228	A2 19991022
CA	2359510	AA	20000720	CA 2000-2359510	20000112
				US 1999-115877P	P 19990113
				US 1999-257266	A 19990225
				US 1999-425228	A 19991022
				WO 2000-US648	W 20000112
AU	2000025016	A5	20000801	AU 2000-25016	20000112
				US 1999-115877P	P 19990113
				US 1999-257266	A 19990225
				US 1999-425228	A 19991022
				WO 2000-US648	W 20000112
EP	1140840	A1	20011010	EP 2000-903239	20000112
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		

			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
EE 200100368	A	20030415	EE 2001-368		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
JP 2003526613	T2	20030909	JP 2000-593580		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
BR 2000007487	A	20030923	BR 2000-7487		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
US 2001011135	A1	20010802	US 2001-773659		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001011136	A1	20010802	US 2001-773675		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001016659	A1	20010823	US 2001-773672		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001027202	A1	20011004	US 2001-773658		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001034447	A1	20011025	US 2001-773604		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
NO 2001003463	A	20010912	NO 2001-3463		20010712
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
ZA 2001005751	A	20030714	ZA 2001-5751		20010712
			US 1999-115877P	P	19990113
US 2002137774	A1	20020926	US 2001-907970		20010719
			US 1999-115877P	P	19990113
BG 105763	A	20020329	BG 2001-105763		20010801
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
HR 2001000580	A1	20020831	HR 2001-580		20010802
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225

				US 1999-425228	A	19991022
				WO 2000-US648	W	20000112
	US 2002042517	A1	20020411	US 2001-948915		20010910
				US 1999-115877P	P	19990113
				US 1999-257266	B2	19990225
	US 2003139605	A1	20030724	US 1999-425228	B1	19991022
				US 2002-71248		20020211
				US 1999-115877P	P	19990113
				US 1999-115878P	P	19990113
				US 1999-257266	B2	19990225
				US 1999-425228	B1	19991022
				US 2001-948915	A1	20010910
FAN	2002:409267					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2002065296	A1	20020530	US 2001-838286		20010420
				US 1999-115878P	P	19990113
				US 1999-257265	B1	19990225
				US 1999-425229	A2	19991022
	US 2003139605	A1	20030724	US 2001-778039	A2	20010207
				US 2002-71248		20020211
				US 1999-115877P	P	19990113
				US 1999-115878P	P	19990113
				US 1999-257266	B2	19990225
				US 1999-425228	B1	19991022
	CA 2443952	AA	20021031	US 2001-948915	A1	20010910
				CA 2002-2443952		20020417
				US 2001-838286	A	20010420
				WO 2002-US12064	W	20020417
	WO 2002085859	A1	20021031	WO 2002-US12064		20020417
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,					
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,					
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,					
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,					
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,					
	US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,					
	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,					
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
				US 2001-838286	A	20010420
	EP 1379507	A1	20040114	EP 2002-725709		20020417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
				US 2001-838286	A	20010420
				WO 2002-US12064	W	20020417
	JP 2004537511	T2	20041216	JP 2002-583386		20020417
				US 2001-838286	A	20010420
				WO 2002-US12064	W	20020417
FAN	2002:615574					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361		20020207
	WO 2002062763	A3	20021010			
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,					
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,					
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,					

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,  
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-777920	A	20010207
US 2002165394	A1	20021107	US 2001-777920		20010207
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	B2	19991022
			US 2001-758548	A2	20010112

OS MARPAT 137:352907  
 GI

AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un)substituted pyridyl, quinoliny, isoquinoliny; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepared For example, coupling of aniline II, e.g., prepared from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10  $\mu$ M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

IT 432050-22-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-22-1 CAPLUS  
 CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:832761 CAPLUS  
 DN 137:337791  
 TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085857	A2	20021031	WO 2002-US12066	20020418
	WO 2002085857	A3	20030116		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2443950	AA	20021031	US 2001-838285	A 20010420
				CA 2002-2443950	20020418
				US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
	EP 1379505	A2	20040114	EP 2002-725710	20020418
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
	JP 2005501813	T2	20050120	JP 2002-583384	20020418
				US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
OS	MARPAT 137:337791				
AB	Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepared For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH <sub>2</sub> Cl <sub>2</sub> , CDI, 0°) to give N-(4-tert-butylpyridyl)-N'-[4-(4-pyridinylmethyl)phenyl]urea as a white solid. Example compds. had IC <sub>50</sub> between 10nM and 10μM for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.				
IT	432050-22-1P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)				
RN	432050-22-1	CAPLUS			
CN	2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)				
L6	ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN				
AN	2002:615574 CAPLUS				
DN	137:169425				
TI	Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors				
IN	Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.				
PA	Bayer Corporation, USA				

SO PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
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	US 2002165394	A1	20021107	US 2001-777920	A 20010207
				US 2001-777920	20010207
				US 1999-115877P	P 19990113
				US 1999-257266	B2 19990225
				US 1999-425228	B2 19991022
				US 2001-758548	A2 20010112

# PATENT FAMILY INFORMATION:

FAN 2000:493376

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
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				US 1999-115878P	P 19990113
				US 1999-257265	A2 19990225
				US 1999-425229	A2 19991022
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				US 1999-257265	A 19990225
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	US 2003139605	A1	20030724	US 2002-71248	20020211
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				US 1999-115878P	P 19990113

			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910
US 2003105091	A1	20030605	US 2002-86417	20020304
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FAN	2000:493516			
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			US 1999-257266	A2 19990225
			US 1999-425228	A2 19991022
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			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
AU 2000025016	A5	20000801	AU 2000-25016	20000112
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			US 1999-257266	A 19990225
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			US 1999-425228	A 19991022
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EE 200100368	A	20030415	EE 2001-368	20000112
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			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
JP 2003526613	T2	20030909	JP 2000-593580	20000112
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			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
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BR 2000007487	A	20030923	BR 2000-7487	20000112
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			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
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US 2001034447	A1	20011025	US 2001-773604		20010202
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NO 2001003463	A	20010912	NO 2001-3463		20010712
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			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
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BG 105763	A	20020329	BG 2001-105763		20010801
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
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HR 2001000580	A1	20020831	HR 2001-580		20010802
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
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			US 1999-257266	B2	19990225
			US 1999-425228	B1	19991022
US 2003139605	A1	20030724	US 2002-71248		20020211
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FAN 2002:409267					
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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PI US 2002065296	A1	20020530	US 2001-838286		20010420
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			US 1999-257265	B1	19990225
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			US 2001-778039	A2	20010207

US 2003139605	A1	20030724	US 2002-71248	20020211
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			US 1999-115878P	P 19990113
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			US 2001-948915	A1 20010910
CA 2443952	AA	20021031	CA 2002-2443952	20020417
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			WO 2002-US12064	W 20020417
WO 2002085859	A1	20021031	WO 2002-US12064	20020417
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			US 2001-838286	A 20010420
EP 1379507	A1	20040114	EP 2002-725709	20020417
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			WO 2002-US12064	W 20020417
JP 2004537511	T2	20041216	JP 2002-583386	20020417
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			WO 2002-US12064	W 20020417
FAN 2002:850357				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 2002165394	A1	20021107	US 2001-777920	20010207
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			US 1999-257266	B2 19990225
			US 1999-425228	B2 19991022
			US 2001-758548	A2 20010112
ZA 2001005751	A	20030714	ZA 2001-5751	20010712
			US 1999-115877P	P 19990113
US 2002137774	A1	20020926	US 2001-907970	20010719
			US 1999-115877P	P 19990113
WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
			US 2001-777920	A 20010207
US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225

US 1999-425228 B1 19991022  
US 2001-948915 A1 20010910

OS MARPAT 137:169425  
GI

AB Title compds., e.g., RNHCONHZOR1 [I; R = C<sub>6</sub>H<sub>4</sub>(CMe<sub>3</sub>)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R<sub>1</sub> = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepared Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>OC<sub>6</sub>H<sub>4</sub>(CONHMe)-4 (preparation given) was condensed with 3-(Me<sub>3</sub>C)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> and CO(OCCl<sub>3</sub>)<sub>2</sub> to give title compound II. Data for biol. activity of title compds. were given.

IT 432050-22-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase inhibitors)

RN 432050-22-1 CAPLUS  
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2002:409267 CAPLUS  
DN 137:6098  
TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.; Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
PA Bayer Corporation, USA  
SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U. S. Ser. No. 778,039. CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 5

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WO 2002085859	A1	20021031		WO 2002-US12064		20020417
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				WO 2002-US12064	W	20020417

PATENT FAMILY INFORMATION:

FAN 2000:493376

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WO 2000041698	A1	20000720	WO 2000-US768	20000113
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			US 1999-257265	A 19990225
			US 1999-425229	A 19991022
			WO 2000-US768	W 20000113
US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910

US 2003105091	A1	20030605	US 2002-86417	20020304
			US 1999-115878P	P 19990113
			US 1999-257265	B2 19990225
			US 1999-425229	B1 19991022
FAN 2000:493516				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2000042012	A1	20000720	WO 2000-US648	20000112
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,				
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MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,				
AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1999-115877P	P 19990113
			US 1999-257266	A2 19990225
			US 1999-425228	A2 19991022
CA 2359510	AA	20000720	CA 2000-2359510	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
AU 2000025016	A5	20000801	AU 2000-25016	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
EP 1140840	A1	20011010	EP 2000-903239	20000112
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			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
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			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
JP 2003526613	T2	20030909	JP 2000-593580	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
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			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
			WO 2000-US648	W 20000112
US 2001011135	A1	20010802	US 2001-773659	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	A1 19991022

US 2001011136	A1	20010802	US 2001-773675	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
US 2001016659	A1	20010823	US 1999-425228	A1 19991022
			US 2001-773672	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
US 2001027202	A1	20011004	US 1999-425228	A1 19991022
			US 2001-773658	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
US 2001034447	A1	20011025	US 1999-425228	A1 19991022
			US 2001-773604	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
NO 2001003463	A	20010912	US 1999-425228	A1 19991022
			NO 2001-3463	20010712
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
ZA 2001005751	A	20030714	WO 2000-US648	W 20000112
			ZA 2001-5751	20010712
US 2002137774	A1	20020926	US 1999-115877P	P 19990113
			US 2001-907970	20010719
BG 105763	A	20020329	US 1999-115877P	P 19990113
			BG 2001-105763	20010801
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
HR 2001000580	A1	20020831	WO 2000-US648	W 20000112
			HR 2001-580	20010802
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
US 2002042517	A1	20020411	WO 2000-US648	W 20000112
			US 2001-948915	20010910
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
US 2003139605	A1	20030724	US 1999-425228	B1 19991022
			US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910
FAN 2002:615574				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-777920	A	20010207
US 2002165394	A1	20021107	US 2001-777920		20010207
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	B2	19991022
			US 2001-758548	A2	20010112

FAN 2002:850357

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002165394	A1	20021107	US 2001-777920	20010207
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B2 19991022
			US 2001-758548	A2 20010112
ZA 2001005751	A	20030714	ZA 2001-5751	20010712
			US 1999-115877P	P 19990113
US 2002137774	A1	20020926	US 2001-907970	20010719
			US 1999-115877P	P 19990113
WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-777920	A	20010207
US 2003139605	A1	20030724	US 2002-71248		20020211
			US 1999-115877P	P	19990113
			US 1999-115878P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	B1	19991022
			US 2001-948915	A1	20010910

OS MARPAT 137:6098

AB This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-[4-[3-(N-methylcarbamoyl)phenoxy]phenyl]urea) containing N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinoliny or isoquinoliny group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, containing at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of preparation are not claimed, 37 example preps. are included as well as examples of preparation of intermediates. No pharmacol. data is included.

IT 432050-22-1P, N-(2-Methoxy-3-quinoliny)-N'-[4-(2-(N-Methylcarbamyl)-4-pyridyloxy)phenyl]urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of heteroaryl ureas containing nitrogen hetero-atoms as p38  
kinase  
inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin  
o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:314913 CAPLUS

DN 136:340689

TI Preparation of urea derivatives containing nitrogenous aromatic ring  
compounds as inhibitors of angiogenesis

IN Funahashi, Yasuhiro; Tsuruoka, Akihiko; Matsukura, Masayuki; Haneda, Toru;  
Fukuda, Yoshio; Kamata, Junichi; Takahashi, Keiko; Matsushima, Tomohiro;  
Miyazaki, Kazuki; Nomoto, Kenichi; Watanabe, Tatsuo; Obaishi, Hiroshi;  
Yamaguchi, Atsumi; Suzuki, Sachi; Nakamura, Katsuji; Mimura, Fusayo;  
Yamamoto, Yuji; Matsui, Junji; Matsui, Kenji; Yoshida, Takako; Suzuki,  
Yasuyuki; Arimoto, Itaru

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 699 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032872	A1	20020425	WO 2001-JP9221	20011019
	WO 2002032872	C1	20020926		
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	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
CA	2426461	AA	20020425	CA 2001-2426461	20011019
				JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
				WO 2001-JP9221	W 20011019
AU	2001095986	A5	20020429	AU 2001-95986	20011019
				JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
				WO 2001-JP9221	W 20011019
EP	1415987	A1	20040506	EP 2001-976786	20011019

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

			JP 2000-320420	A	20001020
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			JP 2001-46685	A	20010222
			WO 2001-JP9221	W	20011019
EP 1506962	A2	20050216	EP 2004-25700		20011019
EP 1506962	A3	20050302			

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IE, FI, CY, TR

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			JP 2000-386195	A	20001220
			JP 2001-46685	A	20010222
			EP 2001-976786	A3	20011019
NZ 525324	A	20050324	NZ 2001-525324		20011019
			JP 2000-320420	A	20001020
			JP 2000-386195	A	20001220
			JP 2001-46685	A	20010222
			WO 2001-JP9221	W	20011019
NO 2003001731	A	20030619	NO 2003-1731		20030414
			JP 2000-320420	A	20001020
			JP 2000-386195	A	20001220
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			WO 2001-JP9221	W	20011019
US 2004053908	A1	20040318	US 2003-420466		20030418
			JP 2000-320420	A	20001020
			JP 2000-386195	A	20001220
			JP 2001-46685	A	20010222
			WO 2001-JP9221	A2	20011019
ZA 2003003567	A	20040810	ZA 2003-3567		20030508
			JP 2000-320420	A	20001020

OS MARPAT 136:340689  
GI

AB N-aryl or N-heteroarylurea derivs. represented by the general formula Ag-Xg-Yg-Tg1 or salts thereof, or hydrates of both [wherein Ag = (un)substituted C6-14 aryl or 5- to 14-membered heterocyclic group; Xg = single bond, O, S, C1-6 alkylene, SO, SO2, (un)substituted NH; Yg = (un)substituted C6-14 aryl, 5- to 14-membered heterocyclic group, C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl-C1-6 alkyl, 5- to 14-membered heteroaryl-C1-6 alkyl, (CH2)gSO2 (g = 1-8), (CH2)faCH:CH(CH2)fb (fa, fb = 0, 1,2,3), etc.; and Tg1 = a group of the general formula -Eg-CO-NRg1(Zg) or Q; wherein Eg = a single bond, (un)substituted NH; Rg1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 aliphatic hydrocarbyl, etc.; Zg = C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl, etc.; Zg1, Zg2 = (a) a single bond, (b) C1-6 alkylene optionally having ≥1 atoms selected from O, S, and N in the middle or the terminus of the chain and optionally substituted with oxo, (c) (un)substituted C2-6 alkenyl] are prepared These compds. are also inhibitors of vascular endothelial growth factor receptor kinase (VEGFR2 kinase) and are useful as antitumor agents against hemangioma, pancreatic

cancer, stomach cancer, colon cancer, breast cancer, prostate cancer, lung cancer, brain tumor, leukemia, or ovarian cancer, as cancer metastasis inhibitors, and for the treatment of retina neovascularization, diabetic retinopathy, atherosclerosis, or inflammatory diseases such as osteoarthritis, rheumatoid arthritis, psoriasis, or delayed hypersensitivity. Thus, to solution of 334 mg 4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenylamine in 4 mL DMF were added 0.066 mL pyridine and 0.102 mL Ph chlorocarbonate and stirred at room temperature for 2.5 h to give 330 mg N-[4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea which (260 mg) was hydrogenolyzed over platinum oxide in ethanol overnight to give 160 mg N-[4-[6-(4-hydroxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea (I). I showed IC<sub>50</sub> of 0.02 nM for inhibiting the vascular endothelial growth factor (VEGF)-stimulated sandwich tube formation in vascular endothelial cell.

IT 417713-68-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of urea derivs. containing nitrogenous aromatic ring compds. as angiogenesis inhibitors for prevention or treatment of diseases)

RN 417713-68-9 CAPLUS

CN Urea, N-1H-benzimidazol-2-yl-N'-[4-[[6-cyano-7-(2-methoxyethoxy)-4-quinolinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
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				JP 1999-26691	A 19990203
				JP 1999-142493	A 19990521
				JP 1999-253624	A 19990907
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			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
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			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
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				JP 1999-142493	A 19990521
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			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
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			WO 2000-JP255	W 20000120
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			JP 1999-253624	A 19990907
			WO 2000-JP255	W 20000120
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			JP 1999-26691	A 19990203
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			JP 1999-253624	A 19990907
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			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
			WO 2000-JP255	W 20000120
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			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
			WO 2000-JP255	W 20000120
US 2004209905	A1	20041021	US 2004-842009	20040510
			JP 1999-14858	A 19990122
			JP 1999-26691	A 19990203
			JP 1999-142493	A 19990521
			JP 1999-253624	A 19990907
			WO 2000-JP255	W 20000120
			US 2001-889858	A3 20010723
OS	MARPAT 133:135235			
GI				

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F<sub>2</sub>C<sub>6</sub>H<sub>3</sub>) was prepared and tested.

IT 286369-76-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and antitumor activity of quinolines and quinazolines)

RN 286369-76-4 CAPLUS

CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:425745 CAPLUS

DN 131:87909

TI Inhibition of p38 kinase activity using substituted heterocyclic ureas

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko

PA Bayer Corporation, USA

SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932111	A1	19990701	WO 1998-US26080	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				TM
	CA 2315720	AA	19990701	US 1997-995750	A 19971222
				CA 1998-2315720	19981222
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	AU 9919971	A1	19990712	AU 1999-19971	19981222
	AU 739642	B2	20011018		
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	EP 1041982	A1	20001011	EP 1998-964709	19981222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	JP 2001526223	T2	20011218	JP 2000-525102	19981222
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222

OS MARPAT 131:87909

GI

AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted isoxazolyl, pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC50 values of 1-10  $\mu$ M.

IT 229155-61-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)

RN 229155-61-7 CAPLUS

CN Urea, N-(6-chloro-1H-indazol-3-yl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI)  
 (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:421642 CAPLUS

DN 131:58658

TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932436	A1	19990701	WO 1998-US26081	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1997-996344	A 19971222
	CA 2315646	AA	19990701	CA 1998-2315646	19981222
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
	AU 9919054	A1	19990712	AU 1999-19054	19981222
	AU 763024	B2	20030710		
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222

EP 1049664	A1	20001108	EP 1998-963809	19981222
EP 1049664	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
TR 200002616	T2	20001121	TR 2000-200002616	19981222
			US 1997-996344	A 19971222
TR 200100874	T2	20010621	TR 2001-200100874	19981222
			US 1997-996344	A 19971222
JP 2001526258	T2	20011218	JP 2000-525373	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BR 9814375	A	20020521	BR 1998-14375	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
NZ 505843	A	20030630	NZ 1998-505843	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
EP 1449834	A2	20040825	EP 2003-26051	19981222
EP 1449834	A3	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1997-996344	A 19971222
			EP 1998-963809	A3 19981222
RU 2247109	C2	20050227	RU 2000-120165	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
AT 291011	E	20050415	AT 1998-963809	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
NO 2000003230	A	20000821	NO 2000-3230	20000621
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BG 104599	A	20010330	BG 2000-104599	20000712
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
OS	MARPAT 131:58658			
GI				

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10  $\mu$ M.

IT 228400-71-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sym. and unsym. substituted di-Ph ureas with inhibitory  
 effects on tumors mediated by raf kinase)

RN 228400-71-3 CAPLUS  
 CN Urea, N-(3-methoxy-2-naphthalenyl)-N'-[4-(4-pyridinyloxy)phenyl]- (9CI)  
 (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1997:414195 CAPLUS  
 DN 127:34137  
 TI Preparation of quinoline and quinazoline derivatives inhibiting  
 platelet-derived growth factor receptor autophosphorylation  
 IN Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi;  
 Kato, Shinichiro; Murooka, Hideko; Kobayashi, Yoshiko; et al.  
 PA Kirin Beer Kabushiki Kaisha, Japan  
 SO PCT Int. Appl., 243 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717329	A1	19970515	WO 1996-JP3229	19961105
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
AU 9673400	A1	19970529	AU 1996-73400	19961105
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
			WO 1996-JP3229	W 19961105
EP 860433	A1	19980826	EP 1996-935541	19961105
EP 860433	B1	20020703		
R: CH, DE, FR, GB, LI				
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
			WO 1996-JP3229	W 19961105
TW 483891	B	20020421	TW 1996-85113529	19961106
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
US 6143764	A	20001107	US 1998-68660	19980506
			JP 1995-313555	A 19951107
			JP 1996-62121	A 19960223
			WO 1996-JP3229	W 19961105

OS MARPAT 127:34137  
GI

AB The title compds. I [R1 and R2 represent each H or C1-4 alkyl, or R1 and R2 together form C1 to C3 alkylene; X represents O, S or CH2; W represents CH or N; and Q represents substituted aryl or substituted heteroaryl] are prepared I inhibit platelet-derived growth factor receptor autophosphorylation and are useful in the treatment of cancer, arthritis, etc. The title compound II (preparation given) (at 100 mg/kg i.p. once daily for 9 days) increased the survival of mice with transplanted leukemic P388 cells by 130%.

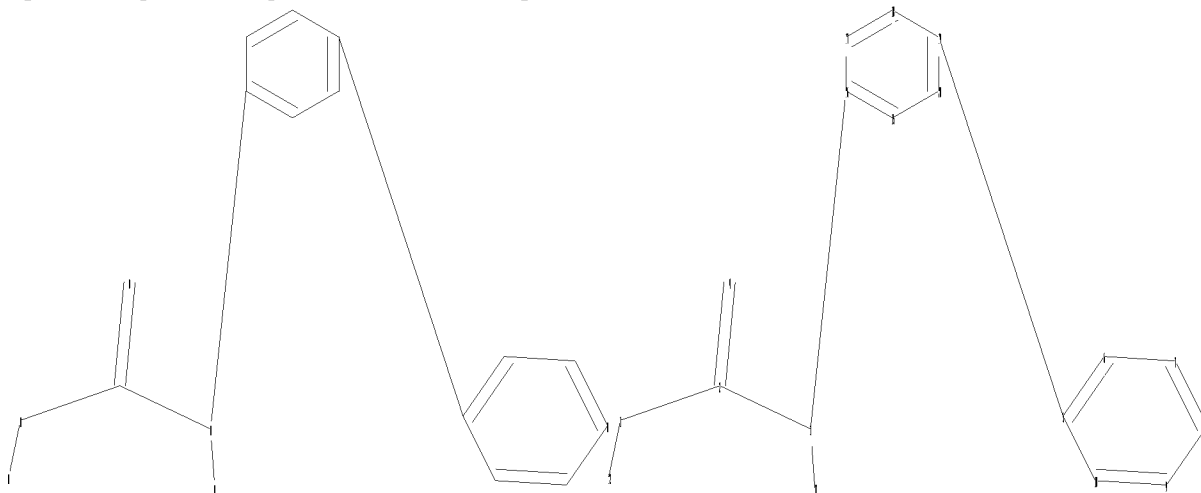
IT 190727-25-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation)

RN 190727-25-4 CAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1-naphthalenyl-  
(9CI) (CA INDEX NAME)

=&gt;

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chain nodes :

1 2 3 4 12 13

ring nodes :

5 6 7 8 9 10 15 16 17 18 19 20

chain bonds :

1-12 1-2 2-3 2-4 3-13 3-16 5-19

ring bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

1-2 2-3 2-4 3-16

exact bonds :

1-12 3-13 5-19

normalized bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

L1           STRUCTURE UPLOADED

=> ld

LD IS NOT A RECOGNIZED COMMAND

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For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> d

L1 HAS NO ANSWERS

L1                   STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -   AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s ll ful

FULL SEARCH INITIATED 16:27:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -       662 TO ITERATE

100.0% PROCESSED       662 ITERATIONS

32 ANSWERS

SEARCH TIME: 00.00.01

L2                   32 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

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FILE COVERS 1907 - 22 Jun 2005   VOL 142 ISS 26

FILE LAST UPDATED: 21 Jun 2005   (20050621/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 11 L2

=> d 1-11 fbib abs fhitr

L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:737759 CAPLUS

DN 139:261291

TI Preparation of condensed heterocyclic compounds such as  
5-oxo-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivatives as  
calcitonin agonists

IN Bhandari, Ashok; Boros, Eric Eugene; Cowan, David John; Handlon, Anthony  
Louis; Hyman, Clifton Earl; Oplinger, Jeffrey Alan; Rabinowitz, Michael  
Howard; Turnbull, Philip Stewart

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003076440	A1	20030918	WO 2003-US5605	20030224
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002-362011P			P	20020306
	US 2005107419	A1	20050519	US 2003-507006	20030224
				US 2002-362011P	P 20020306
				WO 2003-US5605	W 20030224

OS MARPAT 139:261291

GI

AB The title compds. [I; R = each (un)substituted aryl, heteroaryl, alkyl, or cycloalkyl, further wherein said aryl, heteroaryl, alkyl, or cycloalkyl; Z = H, alkyl, halogen, CO<sub>2</sub>R<sub>5</sub>, CON(R<sub>5</sub>)<sub>2</sub>, CONHN(R<sub>5</sub>)<sub>2</sub>, NHCON(R<sub>5</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>5</sub>)<sub>2</sub>, CH<sub>2</sub>NHCOR<sub>5</sub>, NO<sub>2</sub>, N(R<sub>5</sub>)<sub>2</sub>, NHCOR<sub>5</sub>, N(R<sub>5</sub>)SO<sub>2</sub>N(R<sub>5</sub>)<sub>2</sub>, OR<sub>5</sub>, CH<sub>2</sub>N(R<sub>5</sub>)<sub>2</sub>, CH<sub>2</sub>CON(R<sub>5</sub>)<sub>2</sub>, CH<sub>2</sub>CO<sub>2</sub>R<sub>5</sub>, (un)substituted heteroaryl; R<sub>5</sub> = independently H, alkyl, trifluoromethyl, each (un)substituted aryl, heteroaryl, aralkyl, heteroaralkyl, cycloalkyl, heterocyclyl, fused cycloalkylaryl, or fused heterocyclylaryl; R<sub>1</sub> = H, alkyl, CO<sub>2</sub>R<sub>5</sub>, COR<sub>5</sub>, CON(R<sub>5</sub>)<sub>2</sub>, cyano, NO<sub>2</sub>, N(R<sub>5</sub>)<sub>2</sub>, SO<sub>2</sub>R<sub>5</sub>, SO<sub>2</sub>N(R<sub>5</sub>)<sub>2</sub>, NHCOR<sub>5</sub>, NHCON(R<sub>5</sub>)<sub>2</sub>; R<sub>2</sub> = alkyl, CF<sub>3</sub>, alkoxy, aryl, heteroaryl, aralkyl, heteroaralkyl, alkoxyaryl, further wherein said

alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF<sub>3</sub>, or alkoxy; or R<sub>1</sub> and R<sub>2</sub> combine to form a 5- or 6-membered ring, optionally containing one or more heteroatom, optionally containing one or more degrees of unsatn., and optionally substituted one or more times with oxo, hydroxy, halogen, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, further wherein said alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF<sub>3</sub>, or alkoxy; A = C, N; Y = C, N; X = S, O, N(R<sub>5</sub>), C(R<sub>5</sub>)<sub>2</sub>, SO<sub>2</sub>; n = 1, 2, 3, or 4], salts, solvates, and pharmaceutically functional derivs. thereof are prepared These compds. are useful in the treatment and prevention of diseases or conditions which are related to irregular calcification or those mediated by calcitonin. They are used in therapies for osteopenia and osteoporosis in men and women; reduction in the risk of fractures, both vertebral and nonvertebral; Paget's disease; bone fracture or deficiency; primary or secondary hyperparathyroidism; periodontal disease or defect; metastatic bone disorder; osteolytic bone disease; post-plastic surgery; post-prosthetic joint surgery; postdental implantation; hypercalcemia; bone pain, general pain, and hyperalgesia; conditions associated with inhibiting gastric secretion; gastrointestinal disorders; osteoarthritis and rheumatoid arthritis; renal osteodystrophy; obesity by induction of satiety; and male infertility. Thus, 4-[3-(Ethoxycarbonyl)-2-[2-(4-fluorophenyl)ethyl]-5-oxo-8,9-dihydro-5H,7H-pyrazolo[1'2':1,2]pyrazolo[3,4-b]pyridin-4-yl]benzoic acid was condensed with furfurylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT-H<sub>2</sub>O in DMF at room temperature for 4 h to give 2-[2-(4-fluorophenyl)ethyl]-4-[4-[[2-furylmethyl)amino]carbonyl]phenyl]-5-oxo-8,9-dihydro-5H,7H-pyrazolo[1',2':1,2]pyrazolo[3,4-b]pyridine-3-carboxylate (II). In an CRE-luciferase reporter assay, II activated the human calcitonin-2 receptor (HCT2R) expressed in CHO-6CRE-luciferase cells with E<sub>50</sub> of ≤10 nM.

IT 603998-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed heterocyclic compds. such as 5-oxo-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivs. as calcitonin agonists for drugs)

RN 603998-38-5 CAPLUS

CN 5H-Pyrido[2,3-a]pyrrolizine-3-carboxylic acid, 7,8,9,9a-tetrahydro-5-oxo-4-[4-[[2-[(phenylamino)carbonyl]amino]phenyl]-2-[2-[4-(trifluoromethyl)phenyl]ethyl]-, ethyl ester, (9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:479146 CAPLUS

DN 133:350031

TI Synthesis of 1,1'-polymethylenebis-(3-substituted) ureas and related compounds of potential biological interest

AU Yonova, P. A.; Ionov, I. P.

CS Acad. M. Popov Institute of Plant Physiology, Bulgarian Academy of

Sciences, Sofia, 1113, Bulg.  
 SO Dokladi na Bulgarskata Akademiya na Naukite (1999), 52(3-4), 53-56  
 CODEN: DBANEH; ISSN: 0861-1459  
 PB Bulgarska Akademiya na Naukite  
 DT Journal  
 LA English  
 OS CASREACT 133:350031  
 AB RNHCONH(CH<sub>2</sub>)<sub>n</sub>NHCONHR [I, R = Ph, 3-FC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>, 3-ClC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, n = 2-6; R = 2-thiazolyl, 4-pyridyl, 4-picoly, 3,5-dichloro-4-pyridyl, n = 6] were pred. from RNCO and H<sub>2</sub>N(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub> or from RNH<sub>2</sub> and H<sub>2</sub>N(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>. I have antisenescence activity comparable to that of PhNHCONHPh and putrescine.  
 IT 306326-84-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of polymethylenebis(arylureas) as senescence inhibitors)  
 RN 306326-84-1 CAPLUS  
 CN Urea, N,N''-1,6-hexanediybis[N'-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:404951 CAPLUS  
 DN 131:58850  
 TI Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT<sub>1A</sub>, 5-HT<sub>1B</sub>, and 5-HT<sub>1D</sub> receptor antagonists  
 IN Gaster, Laramie Mary  
 PA Smithkline Beecham Plc, UK  
 SO PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931086	A1	19990624	WO 1998-EP7804	19981202
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1997-26364	A 19971212
				GB 1997-26905	A 19971219
				GB 1998-317	A 19980107
	CA 2313125	AA	19990624	CA 1998-2313125	19981202
				GB 1997-26364	A 19971212
				GB 1997-26905	A 19971219
				GB 1998-317	A 19980107
				WO 1998-EP7804	W 19981202
	EP 1047691	A1	20001102	EP 1998-965729	19981202
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
				GB 1997-26364	A 19971212
				GB 1997-26905	A 19971219

			GB 1998-317	A	19980107
			WO 1998-EP7804	W	19981202
JP 2002508366	T2	20020319	JP 2000-539010		19981202
			GB 1997-26364	A	19971212
			GB 1997-26905	A	19971219
			GB 1998-317	A	19980107
			WO 1998-EP7804	W	19981202
OS	MARPAT 131:58850				
GI					

AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(O)DG, C(O)DG, DGC(O) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)t where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)u-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR16O, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepared E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepared Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 227955-65-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of quinolinepiperazine and quinolinepiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227955-65-9 CAPLUS

CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:126896 CAPLUS

DN 130:182356

TI Preparation of bicyclic compounds as ligands for 5-HT1 receptors

IN Gaster, Laramie Mary; Wyman, Paul Adrian; Flynn, Sean Thomas

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907700	A1	19990218	WO 1998-EP5116	19980806
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1997-16804	A 19970809
				GB 1998-1633	A 19980126
	CA 2299286	AA	19990218	CA 1998-2299286	19980806
				GB 1997-16804	A 19970809
				GB 1998-1633	A 19980126
				WO 1998-EP5116	W 19980806
	EP 1003738	A1	20000531	EP 1998-946322	19980806
	EP 1003738	B1	20031119		
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
				GB 1997-16804	A 19970809
				GB 1998-1633	A 19980126
				WO 1998-EP5116	W 19980806
	JP 2001512727	T2	20010828	JP 2000-506204	19980806
				GB 1997-16804	A 19970809
				GB 1998-1633	A 19980126
				WO 1998-EP5116	W 19980806
	US 6391891	B1	20020521	US 2000-463704	20000126
				GB 1997-16804	A 19970809
				GB 1998-1633	A 19980126
				WO 1998-EP5116	W 19980806
OS	MARPAT 130:182356				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R11 = II (wherein P1 = Ph, bicyclic aryl, 5-7 membered heterocyclyl containing 1-3 heteroatoms selected from O, N and S, etc.; R1 = H, halo, C1-6 alkyl, etc.; R2 = H, halo, C1-6 alkyl, etc.; a = 1-3), III (P2, P3 = P1; A = a bond, O, SOm (m = 0-2), etc.; R3 = R2; a, b = 1-3); L = YC(:V)DG (Y = NH, N(C1-6 alkyl), CH2, O; V = O, S; D = N, C, CH; G = H, C1-6 alkyl); Q = (un)substituted 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R13 = 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R12 = H, halo, OH, etc.], useful in the treatment of CNS disorders, e.g., anxiety and depression, were prepared Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in CH2Cl2 in the presence of Et3N followed by the addition of 5-amino-3-(1-methylpiperidin-4-yl)-1H-indole afforded the urea IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5-HT1D receptors.

IT 220683-76-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bicyclic compds. as ligands for 5-HT1 receptors)

RN 220683-76-1 CAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 13      THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 5 OF 11    CAPLUS    COPYRIGHT 2005 ACS on STN  
AN    1998:745020    CAPLUS  
DN    130:13850  
TI    Preparation of arylacetamide and arylurea derivatives as 5-HT1A, 5-HT1B,  
and 5-HT1D receptor antagonists.  
IN    Gaster, Laramie Mary; Wyman, Paul Adrian  
PA    Smithkline Beecham PLC, UK  
SO    PCT Int. Appl., 73 pp.  
CODEN: PIXXD2  
DT    Patent  
LA    English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850346	A2	19981112	WO 1998-EP2263	19980414
	WO 9850346	A3	19990311		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
				GB 1997-7874	A 19970418
				GB 1998-1632	A 19980126
	AU 9875267	A1	19981127	AU 1998-75267	19980414
				GB 1997-7874	A 19970418
				GB 1998-1632	A 19980126
				WO 1998-EP2263	W 19980414
	ZA 9803243	A	19991018	ZA 1998-3243	19980417
				GB 1997-7874	A 19970418
OS	MARPAT 130:13850				
GI					

AB    Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3AP2(R3)b; A = bond, O, S, SO, SO2, CO, NR4; R4 = H, alkyl; R1 = H, halo, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, OH, hydroxyalkyl, hydroxyalkoxy, alkoxyalkoxy, NO2, CF3, cyano, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, cyano, etc.; a, b = 1-3; n = 0-4; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; L = YC(:V)DG; V = O, S; Y = NH, NR5 CH2, O; R5 = alkyl; D = N, C, CH; G = H, alkyl, etc.; B = CH2, O, S, SO, SO2, NR6, CR7:CR8; R6-R8, Rc, Rd = H, alkyl; Ry = 5-7 membered heterocyclyl, NReRf; Re, Rf = H, alkyl, aralkyl; Rb1, Rb2 = H, halo, OH, alkyl, CF3, alkoxy, aryl; Rb1G = atoms to form specified rings], were prepared Thus, N-[3-(2-dimethylaminoethoxy)-4-iodophenyl]-4-bromophenylacetamide [prepared from

4-bromophenylactic acid and 3-(2-dimethylaminoethoxy)-4-iodoaniline] showed pKi >8.0 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 215950-57-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of arylacetamide and arylurea derivs. as 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 215950-57-5 CAPLUS

CN Urea, N-[3-[2-(dimethylamino)ethoxy]-4-iodophenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:709049 CAPLUS

DN 129:330648

TI Preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists.

IN Gaster, Laramie Mary; Wyman, Paul Adrian

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9847868	A1	19981029	WO 1998-EP2264	19980414
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1997-7875	A 19970418
				GB 1998-1634	A 19980126
OS	MARPAT 129:330648				
GI					

AB Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3A(R3)aP2; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; R1 = H, halo, alkyl, cycloalkyl, alkyl, alkoxy, NO2, CF3, cyano, heterocyclyl, acyl, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, NO2, etc.; L = YC(:V)DG; Y = NH, NR5, CH2, O; R5 = alkyl; V = O, S; D = N, C, CH; G = H, alkyl; GRb = atoms to form a (substituted) (heterocyclic) ring; Ry = 5-7 membered heterocyclyl, amino; Q = atoms to form a (substituted) 5-7 membered (heterocyclic) ring; Rc, Rd = H, alkyl; Rb = H, halo, OH, alkyl, CF3, alkoxy, aryl; n = 1-4], were prepared Thus, 4-bromo-3-methylphenyl isocyanate (preparation given) in CH2Cl2 was treated with 5-amino-3-(2-dimethylaminoethyl)indole in CH2Cl2 to give 88% N-(4-bromo-3-methylphenyl)-N'-[3-(2-dimethylaminoethyl)indol-5-yl]urea. Tested I showed pKi >8.0 in a screen for 5HT1A, 5HT1B, and 5HT1D receptor binding.

IT 215039-06-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists)

RN 215039-06-8 CAPLUS

CN Urea, N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:818575 CAPLUS

DN 124:56724

TI Preparation of antiviral peptides.

IN Haebich, Dieter; Schulze, Thomas; Reefsclaeger, Juergen; Hansen, Jutta; Neumann, Rainer; Streissle, Gert; Paessens, Arnold

PA Bayer A.-G., Germany

SO Ger. Offen., 60 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4331134	A1	19950316	DE 1993-4331134	19930914
	EP 646597	A1	19950405	EP 1994-113560	19940831
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5646121	A	19970708	US 1994-302064	19940907
	CA 2131758	AA	19950315	CA 1994-2131758	19940909
	JP 07118217	A2	19950509	JP 1994-242364	19940912
				DE 1993-4331134	19930914

OS CASREACT 124:56724; MARPAT 124:56724

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; a = 1-3; b = 0, 1; R1 = H, protecting group, defined acyl; R2, R3, R5 = H, alkyl, protecting group; R4 = H, NO2, protecting group, (substituted) MeSO2, PhSO2, naphthylsulfonyl; R6 = CHO, CO2H, CH2OH, alkoxymethyl, etc.), were prepared Thus, title compound (II), prepared by solution phase methods, inhibited human cytomegalovirus with IC50 <0.0005  $\mu$ M.

IT 168194-49-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of antiviral peptides)

RN 168194-49-8 CAPLUS

CN L-Valinamide, N5-[imino[(4-methylphenyl)sulfonyl]methyl]-N2-[[[4-(4-pyridinyl)phenyl]amino]carbonyl]-L-ornithyl-N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1995:594280 CAPLUS  
 DN 123:9462  
 TI Preparation of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists  
 IN Duckworth, David Malcolm; Gaster, Laramie Mary; Jenkins, Sarah Margaret; Jennings, Andrew John; Mulholland, Keith Raymond  
 PA SmithKline Beecham PLC, UK  
 SO PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506044	A1	19950302	WO 1994-EP2662	19940809
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
	EP 714389	A1	19960605	EP 1994-925446	19940809
	EP 714389	B1	19980617		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
	JP 09504004	T2	19970422	JP 1994-507309	19940809
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
	US 5905080	A	19990518	US 1996-596223	19960215
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
OS	MARPAT 123:9462				
GI	For diagram(s), see printed CA Issue.				
AB	Title compds. I (P = Ph, 5-7-membered heterocyclyl containing 1-3 of O, N, S; R1 = H, halo, C1-6 alkyl, C3-6 cycloalkyl, C1-6 alkoxy, HO, NC, acyl, F3C, HS, H2N, etc.; R2 = H, halo, C1-6 alkyl, C1-6 alkoxy, acyl, O2N, etc.; R3 = H, halo, C1-6 alkyl, C1-6 alkoxy; R4 = H, C1-6 alkyl; A = HN, C1-6 acyclyl; n = 1,2) or a salt thereof useful as 5-HT1D antagonists (no data), are prepared 4-Bromophenylacetic acid was converted to the acid chloride and treated with 4-methoxy-3-(4-methyl-1-piperazinyl)benzenamine to give I (P = C6H4, R1 = H, R2 = Br, R3 = p-MeO, R4 = Me, A = CH2, n =				

1). Pharmaceutical compns. containing I are claimed.

IT 163620-41-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclylaryl amides and ureas as 5-HT1D receptor antagonists)

RN 163620-41-5 CAPLUS

CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:460731 CAPLUS

DN 115:60731

TI Silver halide photographic materials

IN Hirano, Shigeo; Deguchi, Hisayasu

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 50 pp.  
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	JP 02244041	A2	19900928	JP 1989-64715	19890316
	JP 2881233	B2	19990412		
				JP 1989-64715	19890316

GI For diagram(s), see printed CA Issue.

AB The title materials contain at least one silver halide emulsion layer on a support. The title materials contain  $\geq 1$  compound A(L1)vQ (I) [A = group releasing (L1)vQ by reaction with oxidized developing agent; L1 = group releasing Q after cleavage of the bond between A and L1; Q = Q1, Q2 from which any hydrogen radical has been removed; Q1 = R21R22R24N+R23 Yn; R21-R24, and R31 = alkyl, alkenyl, aryl, which may have substituents; Z = non-metallic atoms forming 5- or 6-membered (substituted) heterocyclic ring other than triazole; Y = counter ion; v, n = 0 or 1]. The title materials promote development and have high sensitivity. Amide II is an example of I.

IT 135138-28-2  
 RL: TEM (Technical or engineered material use); USES (Uses)  
 (silver halide photog. material containing)

RN 135138-28-2 CAPLUS

CN Pyridinium, 4-[4-[[[3-[5-[[6-[(hexadecylsulfonyl)amino]-2,3-dihydro-1-oxo-1H-inden-2-yl]thio]-1H-tetrazol-1-yl]phenyl]amino]carbonyl]amino]phenyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:470567 CAPLUS  
 DN 99:70567  
 TI N-[4-(4-Pyridinyl)phenyl]ureas and their cardiotonic use  
 IN Leshner, George Y.; Page, Donald F.  
 PA Sterling Drug Inc., USA  
 SO U.S., 6 pp. Cont.-in-part of U.S. 4,317,827.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 4376775	A	19830315	US 1981-285379	19810720
				US 1980-152991	A2 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
					A
	AU 8170901	A1	19811203	AU 1981-70901	19810521
				US 1980-152991	A 19800527
	FI 8101576	A	19811128	FI 1981-1576	19810522
				US 1980-152991	A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523		
				US 1980-152991	A 19800527
	ZA 8103474	A1	19820630	ZA 1981-3474	19810525
				US 1980-152991	A 19800527
	BE 888963	A1	19811126	BE 1981-10237	19810526
				US 1980-152991	A 19800527
	DK 8102298	A	19811128	DK 1981-2298	19810526
				US 1980-152991	A 19800527
	SE 8103325	A	19811128	SE 1981-3325	19810526
				US 1980-152991	A 19800527
	NO 8101783	A	19811130	NO 1981-1783	19810526
				US 1980-152991	A 19800527
	NL 8102582	A	19811216	NL 1981-2582	19810526
				US 1980-152991	A 19800527
	DE 3120954	A1	19820204	DE 1981-3120954	19810526
				US 1980-152991	A 19800527
	ES 502493	A1	19820401	ES 1981-502493	19810526
				US 1980-152991	A 19800527
	CA 1161440	A1	19840131	CA 1981-378281	19810526
				US 1980-152991	A 19800527
	JP 57011965	A2	19820121	JP 1981-80711	19810527
				US 1980-152991	A 19800527
	AT 8102384	A	19840115	AT 1981-2384	19810527
				US 1980-152991	A 19800527
	US 4377585	A	19830322	US 1981-284771	19810720
				US 1980-152991	A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336	19810929
				US 1980-152991	A 19800527

## PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	FR 2483233	A1	19811204	FR 1981-10481	19810526
	FR 2483233	B1	19840615		

US 4317827	A	19820302	US 1980-152991	A	19800527
			US 1980-152991		19800527
				A	
AU 8170901	A1	19811203	AU 1981-70901		19810521
			US 1980-152991	A	19800527
FI 8101576	A	19811128	FI 1981-1576		19810522
			US 1980-152991	A	19800527
GB 2076815	A	19811209	GB 1981-15762		19810522
GB 2076815	B2	19840523			
			US 1980-152991	A	19800527
ZA 8103474	A1	19820630	ZA 1981-3474		19810525
			US 1980-152991	A	19800527
BE 888963	A1	19811126	BE 1981-10237		19810526
			US 1980-152991	A	19800527
DK 8102298	A	19811128	DK 1981-2298		19810526
			US 1980-152991	A	19800527
SE 8103325	A	19811128	SE 1981-3325		19810526
			US 1980-152991	A	19800527
NO 8101783	A	19811130	NO 1981-1783		19810526
			US 1980-152991	A	19800527
NL 8102582	A	19811216	NL 1981-2582		19810526
			US 1980-152991	A	19800527
DE 3120954	A1	19820204	DE 1981-3120954		19810526
			US 1980-152991	A	19800527
ES 502493	A1	19820401	ES 1981-502493		19810526
			US 1980-152991	A	19800527
CA 1161440	A1	19840131	CA 1981-378281		19810526
			US 1980-152991	A	19800527
JP 57011965	A2	19820121	JP 1981-80711		19810527
			US 1980-152991	A	19800527
AT 8102384	A	19840115	AT 1981-2384		19810527
			US 1980-152991	A	19800527
US 4377585	A	19830322	US 1981-284771		19810720
			US 1980-152991	A3	19800527
FR 2489147	A1	19820305	FR 1981-18336		19810929
			US 1980-152991	A	19800527
OS	CASREACT 99:70567				
GI					

AB The cardiotonic title compds. I (R, R4 = H, Me, Et; R1 = H, Me, Et, HO; R2, R3 = H, Me) and their pharmaceutically acceptable acid addition salts were prepared. Thus, 39.2 g 4-(4-pyridyl)aniline in AcOH was treated with 74.1 g potassium cyanate in H2O at 55-60° to give 19.3 g I (R-R4 = H). At 30 µg/mL I (R-R4 = H) increased the papillary muscle force and right atrial force by 58 and 26%, resp. (cat test).

IT 81722-12-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and cardiotonic activity of)

RN 81722-12-5 CAPLUS

CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1982:199532 CAPLUS  
 DN 96:199532  
 TI Aminophenylpyridines and cardiotonic compositions containing them  
 IN Leshner, George Yohe; Page, Donald Frederick  
 PA Sterling Drug Inc., USA  
 SO Fr. Demande, 19 pp.  
 CODEN: FRXXBL  
 DT Patent  
 LA French  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	FR 2483233	A1	19811204	FR 1981-10481	19810526
	FR 2483233	B1	19840615		
				US 1980-152991	A 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
					A
	AU 8170901	A1	19811203	AU 1981-70901	19810521
				US 1980-152991	A 19800527
	FI 8101576	A	19811128	FI 1981-1576	19810522
				US 1980-152991	A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523		
				US 1980-152991	A 19800527
	ZA 8103474	A1	19820630	ZA 1981-3474	19810525
				US 1980-152991	A 19800527
	BE 888963	A1	19811126	BE 1981-10237	19810526
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	DK 8102298	A	19811128	DK 1981-2298	19810526
				US 1980-152991	A 19800527
	SE 8103325	A	19811128	SE 1981-3325	19810526
				US 1980-152991	A 19800527
	NO 8101783	A	19811130	NO 1981-1783	19810526
				US 1980-152991	A 19800527
	NL 8102582	A	19811216	NL 1981-2582	19810526
				US 1980-152991	A 19800527
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				US 1980-152991	A 19800527
	US 4377585	A	19830322	US 1981-284771	19810720
				US 1980-152991	A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336	19810929
				US 1980-152991	A 19800527

PATENT FAMILY INFORMATION:  
 FAN 1983:470567

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 4376775	A	19830315	US 1981-285379	19810720
				US 1980-152991	A2 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
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	AU 8170901	A1	19811203	AU 1981-70901	19810521
				US 1980-152991	A 19800527
	FI 8101576	A	19811128	FI 1981-1576	19810522
				US 1980-152991	A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523		
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	NL 8102582	A	19811216	NL 1981-2582	19810526
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				US 1980-152991	A 19800527
	ES 502493	A1	19820401	ES 1981-502493	19810526
				US 1980-152991	A 19800527
	CA 1161440	A1	19840131	CA 1981-378281	19810526
				US 1980-152991	A 19800527
	JP 57011965	A2	19820121	JP 1981-80711	19810527
				US 1980-152991	A 19800527
	AT 8102384	A	19840115	AT 1981-2384	19810527
				US 1980-152991	A 19800527
	US 4377585	A	19830322	US 1981-284771	19810720
				US 1980-152991	A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336	19810929
				US 1980-152991	A 19800527
OS	CASREACT 96:199532				
GI					

AB 4-Phenylpyridines I (R and R1 each are H, Me; R2 = H, Me, Et, OH; R3 = H, Me, Et; R4 = H,  $\alpha$ -hydroxyalkanoyl,  $\alpha$ -acetoxylanoyl, MeCH:CHCO, CONH2, CHO, alkanoyl, HO2CCH2CH2CO) were prepared and they showed cardiac contraction and antihypertensive activity. 4-(4-Aminophenyl)pyridine was heated with HCO2H to give 4-(4-formamidophenyl)pyridine.

IT 81722-12-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and cardiac contraction activity of)

RN 81722-12-5 CAPLUS

CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

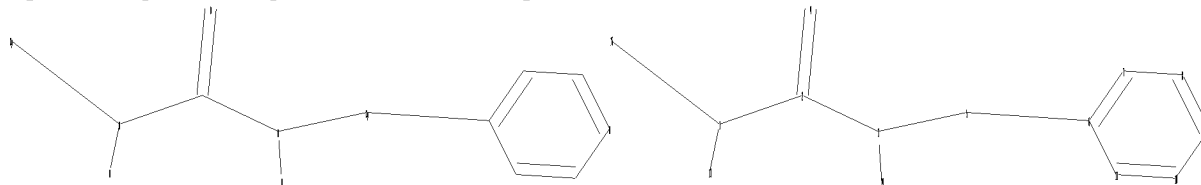
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1 2 3 4 5 13 14 16

ring nodes :

6 7 8 9 10 11

chain bonds :

1-13 1-2 1-16 2-3 2-4 3-5 3-14 5-6

ring bonds :

6-11 6-7 7-8 8-9 9-10 10-11

exact/norm bonds :

1-2 1-16 2-3 2-4 3-5 5-6

exact bonds :

1-13 3-14

normalized bonds :

6-11 6-7 7-8 8-9 9-10 10-11

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 13:CLASS 14:CLASS 16:Atom

Generic attributes :

5:

Saturation : Unsaturated

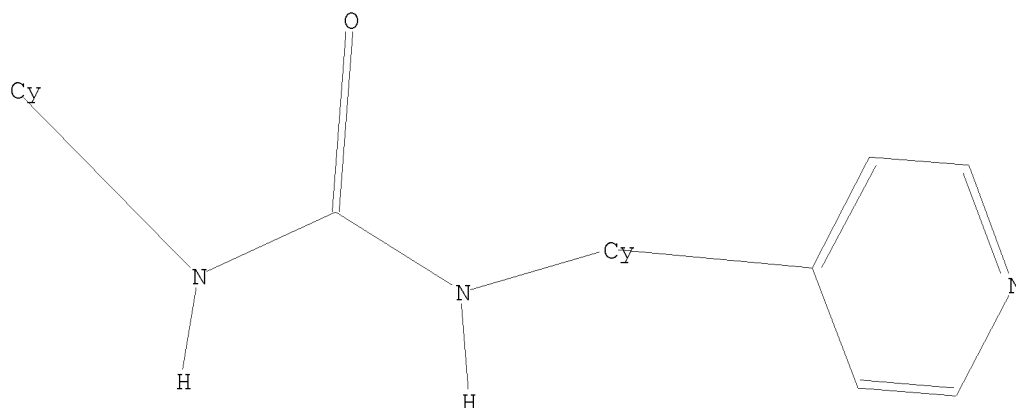
Number of Hetero Atoms : less than 2

L1 STRUCTURE UPLOADED

=&gt; d

L1 HAS NO ANSWERS

L1 STR



G1 C,O

G2 O,S,N,Me,Et,n-Pr,MeO,EtO,n-PrO

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 08:52:57 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 81617 TO ITERATE

100.0% PROCESSED 81617 ITERATIONS

80 ANSWERS

SEARCH TIME: 00.00.02

L2 80 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 08:53:04 ON 30 MAY 2006

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FILE COVERS 1907 - 30 May 2006 VOL 144 ISS 23

FILE LAST UPDATED: 28 May 2006 (20060528/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.  
They are available for your review at:

<http://www.cas.org/infopolicy.html>

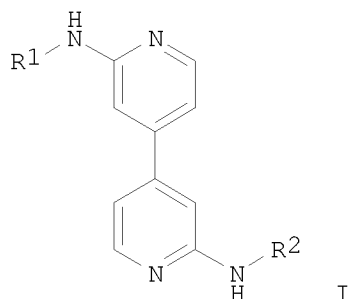
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L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:515503 CAPLUS  
DN 141:71452  
TI Preparation of pyridine derivatives as JNK inhibitors  
IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie  
PA Astrazeneca Ab, Swed.  
SO PCT Int. Appl., 98 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	AU 2003302919	A1	20040630	SE 2002-3654	A 20021209
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				SE 2002-3654	A 20021209
				WO 2003-SE1911	W 20031208
OS	MARPAT 141:71452				
GI					

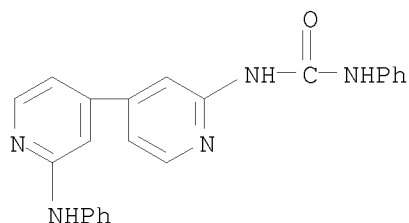


AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712269-07-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-07-3 CAPLUS

CN Urea, N-phenyl-N'-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

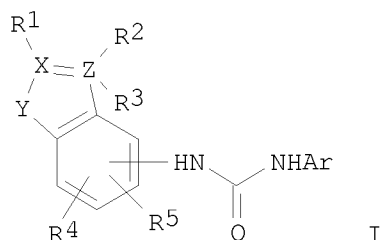
SO Jpn. Kokai Tokkyo Koho, 194 pp.  
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002220338	A2	20020809	JP 2001-18755	20010126
				JP 2001-18755	20010126
OS	MARPAT 137:150215				
GI					



AB This invention relates to the general structures (I; Ar = N-containing hetero aromatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

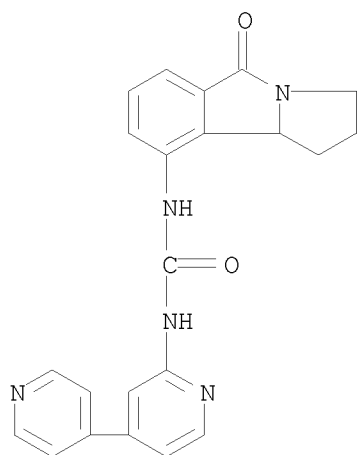
IT 322685-62-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-62-1 CAPLUS

CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:50090 CAPLUS

DN 136:375464

TI Strong and directed association of porphyrins and iron(terpyridine)s using hydrogen bonding and ion pairing

AU Norsten, Tyler B.; Chichak, Kelly; Branda, Neil R.

CS Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.

SO Tetrahedron (2002), 58(4), 639-651  
 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

AB The combination of cooperative hydrogen bonding and ion pairing between cationic iron(II)terpyridines and anionic porphyrins yielded remarkably stable neutral complexes even in the highly competitive solvent DMSO. Isothermal titration calorimetry (ITC) was used to compare association consts., enthalpies and entropies of binding between various combinations of the two mol. components that make up the complexes. Steady-state luminescence studies highlighted that, as expected, the fluorescence quenching of the porphyrin is maximized in the cases where the iron(terpyridine) is strapped the tightest across the macrocycle.

IT 424788-16-9P  
 RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)  
 (Hydrogen Bonding; association between porphyrins and iron(xanthene)(terpyridine)s by means of hydrogen bonding and ion pairing)

RN 424788-16-9 CAPLUS

CN Iron(2+), bis[N-[3,5-bis(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)-9,9-dimethyl-5-([2,2':6',2''-terpyridin]-4'-yl-κN1,κN1',κN1'')-9H-xanthen-2-yl]urea]-, (OC-6-1'2')-, salt with 3,3'-(21H,23H-porphine-5,15-diyl)bis[benzoic acid] (1:1) (9CI) (CA INDEX NAME)

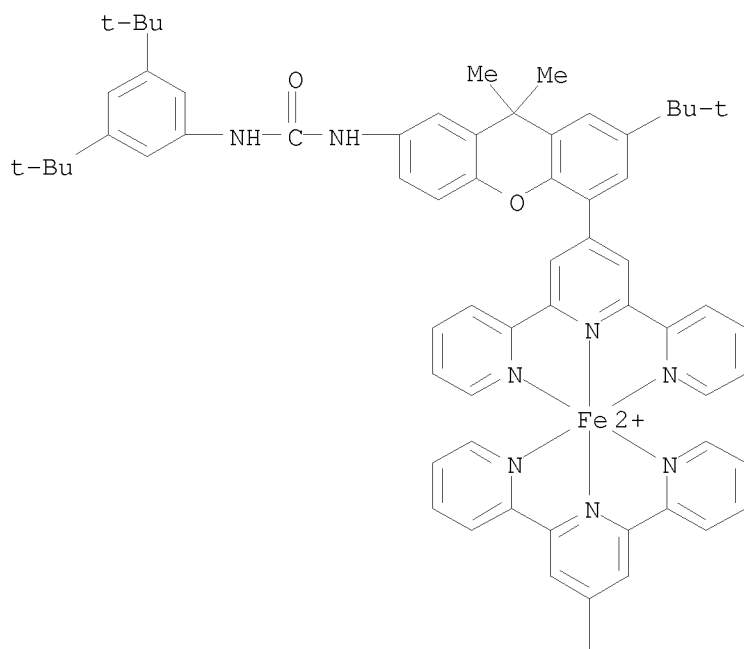
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CRN 424788-10-3

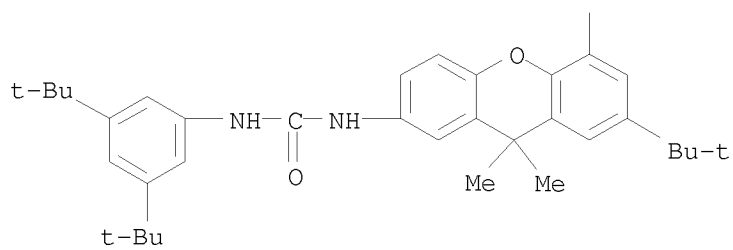
CMF C98 H106 Fe N10 O4

CCI CCS

PAGE 1-A



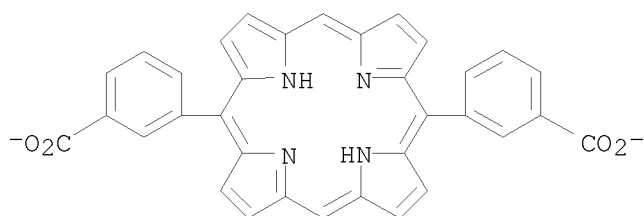
PAGE 2-A



CM 2

CRN 385816-87-5

CMF C34 H20 N4 O4



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:78363 CAPLUS

DN 134:147614

TI Preparation of N,N'-biarylurea derivatives as inhibitors of  
cyclin-dependent kinases (Cdk4 and Cdk6)

IN Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 460 pp.

CODEN: PIXXD2

DT Patent

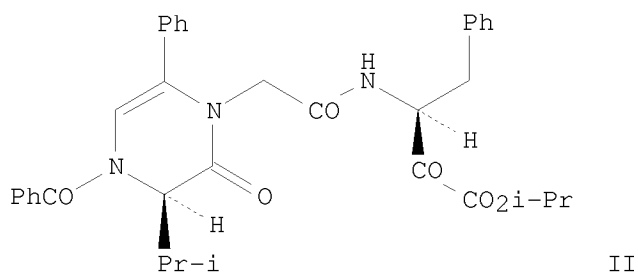
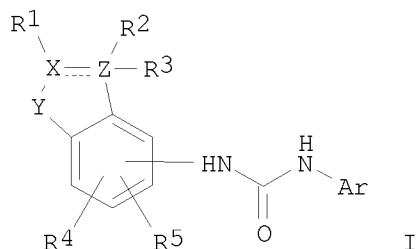
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
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CA 2380389	AA	20010201	JP 1999-211384	A 19990726
			CA 2000-2380389	20000726
			JP 1999-211384	A 19990726
			WO 2000-JP4991	W 20000726
JP 2001106673	A2	20010417	JP 2000-274175	20000726
			JP 1999-211384	A 19990726
EP 1199306	A1	20020424	EP 2000-949909	20000726
EP 1199306	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			JP 1999-211384	A 19990726
			WO 2000-JP4991	W 20000726
EP 1557168	A2	20050727	EP 2005-101402	20000726
R: DE, ES, FR, GB, IT				
			JP 1999-211384	A 19990726
			EP 2000-949909	A3 20000726
US 6958333	B1	20051025	US 2002-31795	20020402
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			WO 2000-JP4991	W 20000726

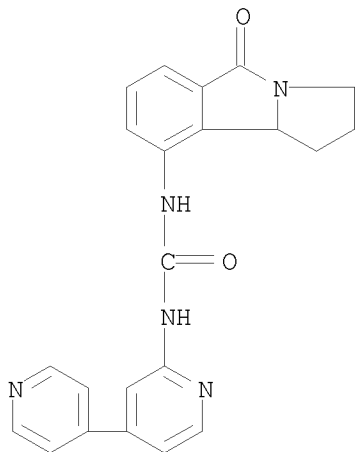
OS MARPAT 134:147614

GI



AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic aromatic group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO<sub>2</sub>; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = single bond, O, S, SO, SO<sub>2</sub>, N-(un)substituted NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, NHCONH, NHCO<sub>2</sub>, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepared. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC<sub>50</sub> of 0.061 and 0.019  $\mu$ M against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056  $\mu$ M, resp., for (±)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC<sub>50</sub> of 0.013 and 0.10  $\mu$ M, resp., vs. 0.15 and 0.87  $\mu$ M, resp., for (±)-flavopiridol. Pharmaceutical formulations containing I were prepared

IT 322685-62-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(hetero)aryl-N'-heterocyclylurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)  
 RN 322685-62-1 CAPLUS  
 CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

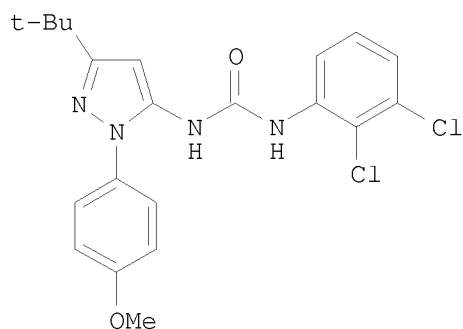
L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:425744 CAPLUS  
 DN 131:73649  
 TI Preparation of pyrazolyl aryl ureas and related compounds as p38 kinase inhibitors  
 IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932110	A1	19990701	WO 1998-US26079	19981222
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,			

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2315647	AA	19990701	US 1997-995751	A	19971222
			CA 1998-2315647		19981222
			US 1997-995751	A	19971222
AU 9919970	A1	19990712	WO 1998-US26079	W	19981222
AU 762077	B2	20030619	AU 1999-19970		19981222
			US 1997-995751	A	19971222
			WO 1998-US26079	W	19981222
EP 1043995	A1	20001018	EP 1998-964708		19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
			US 1997-995751	A	19971222
			WO 1998-US26079	W	19981222
JP 2001526222	T2	20011218	JP 2000-525101		19981222
			US 1997-995751	A	19971222
			WO 1998-US26079	W	19981222

OS MARPAT 131:73649  
GI



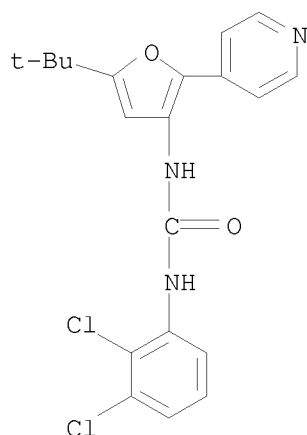
II

AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 2,3-dichlorophenyl isocyanate with 1-(4-methoxyphenyl)-3-tert-butyl-5-aminopyrazole in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC<sub>50</sub> values of 1-10  $\mu$ M.

IT 227623-24-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazolyl aryl ureas and related compds. as p38 kinase inhibitors)

RN 227623-24-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-2-(4-pyridinyl)-3-furanyl]- (9CI) (CA INDEX NAME)

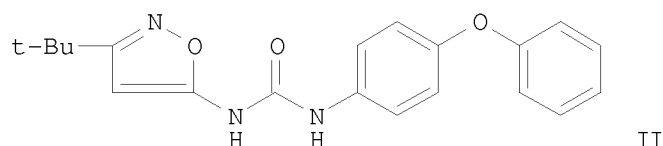


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 1999:425740 CAPLUS  
DN 131:73648  
TI Inhibition of raf kinase using substituted heterocyclic ureas  
IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger;  
Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.;  
Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko  
PA Bayer Corporation, USA  
SO PCT Int. Appl., 163 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9932106	A1	19990701	WO 1998-US26078	19981222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2315717	AA	19990701	US 1997-996343	A 19971222
			CA 1998-2315717	19981222
			US 1997-996343	A 19971222
			WO 1998-US26078	W 19981222
AU 9921989	A1	19990712	AU 1999-21989	19981222
			US 1997-996343	A 19971222
			WO 1998-US26078	W 19981222
EP 1047418	A1	20001102	EP 1998-965981	19981222
EP 1047418	B1	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
TR 200002618	T2	20010420	TR 2000-200002618		19981222
			US 1997-996343	A	19971222
JP 2001526220	T2	20011218	JP 2000-525097		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
BR 9814374	A	20020514	BR 1998-14374		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
RU 2232015	C2	20040710	RU 2000-120184		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
CN 1544420	A	20041110	CN 2004-10028655		19981222
			US 1997-996343	A	19971222
AT 300299	E	20050815	AT 1998-965981		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
ES 2153340	T3	20060201	ES 1998-965981		19981222
			US 1997-996343	A	19971222
NO 2000003232	A	20000821	NO 2000-3232		20000621
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
BG 104597	A	20010228	BG 2000-104597		20000712
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
HK 1029052	A1	20051118	HK 2000-107684		20001130
			US 1997-996343	A	19971222
			WO 1998-US26078	A	19981222
OS	MARPAT 131:73648				
GI					



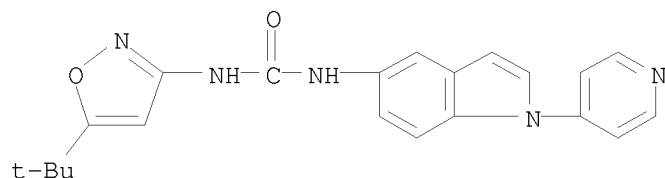
- AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temperature for 2 days gave title compound II. In an in vitro raf kinase assay, I displayed IC<sub>50</sub> values of 1-10  $\mu$ M.
- IT 229000-60-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted heterocyclic ureas for treatment of cancerous cell growth mediated by raf kinase)

RN 229000-60-6 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[1-(4-pyridinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:421660 CAPLUS

DN 131:44811

TI Preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert

PA Bayer Corporation, USA

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932455	A1	19990701	WO 1998-US26082	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2315713	AA	19990701	US 1997-996181	A 19971222
				CA 1998-2315713	19981222
				US 1997-996181	A 19971222
				WO 1998-US26082	W 19981222
	AU 9919055	A1	19990712	AU 1999-19055	19981222
	AU 765412	B2	20030918		
				US 1997-996181	A 19971222
				WO 1998-US26082	W 19981222
	TR 200002617	T2	20001121	TR 2000-200002617	19981222
				US 1997-996181	A 19971222
	EP 1056725	A1	20001206	EP 1998-963810	19981222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
TR 200100918	T2	20010621	TR 2001-200100918		19981222
			US 1997-996181	A	19971222
TR 200100917	T2	20010723	TR 2001-200100917		19981222
			US 1997-996181	A	19971222
BR 9814361	A	20011127	BR 1998-14361		19981222
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
JP 2001526269	T2	20011218	JP 2000-525392		19981222
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
CN 1117081	B	20030806	CN 1998-812504		19981222
			US 1997-996181	A	19971222
NZ 505845	A	20031031	NZ 1998-505845		19981222
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
RU 2265597	C2	20051210	RU 2000-120162		19981222
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
NO 2000003231	A	20000822	NO 2000-3231		20000621
NO 319209	B1	20050627			
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222
BG 104598	A	20010228	BG 2000-104598		20000712
			US 1997-996181	A	19971222
			WO 1998-US26082	W	19981222

OS MARPAT 131:44811

AB The title compds. ANHCONHB (A = heteroaryl; B = aryl, heteroaryl), raf  
kinase inhibitors, were prepared E.g., N-(1-phenyl-3-tert-butyl-5-  
pyrazolyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea was prepared

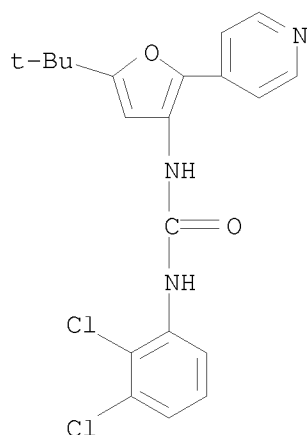
IT 227623-24-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf  
kinase inhibitors)

RN 227623-24-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-2-(4-pyridinyl)-3-  
furanyl]- (9CI) (CA INDEX NAME)



RE.CNT 1        THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 8 OF 8    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    1999:421642    CAPLUS  
DN    131:58658  
TI    Inhibition of raf kinase using symmetrical and unsymmetrical substituted  
      diphenyl ureas  
IN    Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger,  
      Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood,  
      Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming  
PA    Bayer Corporation, USA  
SO    PCT Int. Appl., 89 pp.  
      CODEN: PIXXD2  
DT    Patent  
LA    English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932436	A1	19990701	WO 1998-US26081	19981222
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	RW:			GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
	CA 2315646	AA	19990701	US 1997-996344	A 19971222
				CA 1998-2315646	19981222
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
	AU 9919054	A1	19990712	AU 1999-19054	19981222
	AU 763024	B2	20030710		
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
	EP 1049664	A1	20001108	EP 1998-963809	19981222
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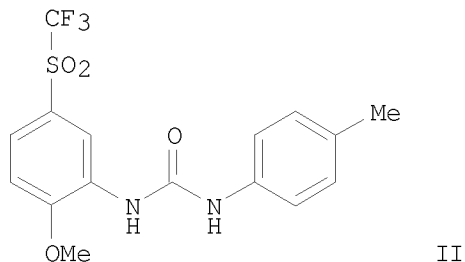
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
TR 200002616	T2	20001121	TR 2000-200002616		19981222
			US 1997-996344	A	19971222
TR 200100874	T2	20010621	TR 2001-200100874		19981222
			US 1997-996344	A	19971222
JP 2001526258	T2	20011218	JP 2000-525373		19981222
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
BR 9814375	A	20020521	BR 1998-14375		19981222
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
NZ 505843	A	20030630	NZ 1998-505843		19981222
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
EP 1449834	A2	20040825	EP 2003-26051		19981222
EP 1449834	A3	20041222			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL

			US 1997-996344	A	19971222
			EP 1998-963809	A3	19981222
RU 2247109	C2	20050227	RU 2000-120165		19981222
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
AT 291011	E	20050415	AT 1998-963809		19981222
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
ES 2153809	T3	20050716	ES 1998-963809		19981222
			US 1997-996344	A	19971222
NO 2000003230	A	20000821	NO 2000-3230		20000621
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222
BG 104599	A	20010330	BG 2000-104599		20000712
BG 64594	B1	20050831			
			US 1997-996344	A	19971222
			WO 1998-US26081	W	19981222

OS MARPAT 131:58658  
GI



AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A =

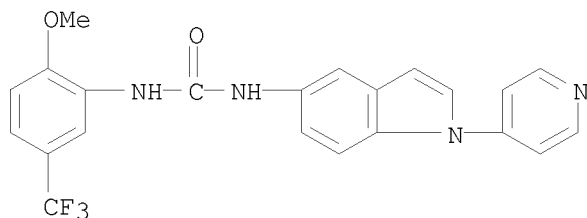
certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10  $\mu$ M.

IT 228399-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sym. and unsym. substituted di-Ph ureas with inhibitory effects on tumors mediated by raf kinase)

RN 228399-93-7 CAPLUS

CN Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-[1-(4-pyridinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

45.94	213.09
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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